

10598512

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Welcome to STN International! Enter x:x

LOGINID:ssspta1612bxx

PASSWORD:

***** RECONNECTED TO STN INTERNATIONAL *****
SESSION RESUMED IN FILE 'CAOLD' AT 18:23:42 ON 13 NOV 2008
FILE 'CAOLD' ENTERED AT 18:23:42 ON 13 NOV 2008
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COST IN U.S. DOLLARS

| | SINCE FILE | TOTAL |
|--|------------|---------|
| | ENTRY | SESSION |
| FULL ESTIMATED COST | 1.38 | 198.53 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE | TOTAL |
| | ENTRY | SESSION |
| CA SUBSCRIBER PRICE | 0.00 | -1.60 |

=> file reg

| | SINCE FILE | TOTAL |
|--|------------|---------|
| | ENTRY | SESSION |
| FULL ESTIMATED COST | 1.84 | 198.99 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE | TOTAL |
| | ENTRY | SESSION |
| CA SUBSCRIBER PRICE | 0.00 | -1.60 |

FILE 'REGISTRY' ENTERED AT 18:24:19 ON 13 NOV 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 12 NOV 2008 HIGHEST RN 1072189-85-5
DICTIONARY FILE UPDATES: 12 NOV 2008 HIGHEST RN 1072189-85-5

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stdoc/properties.html>

Updated Search

=>

Uploading C:\Documents and Settings\brobinson1\My Documents\njg.str.str

L9 STRUCTURE UPLOADED

=> s 19

SAMPLE SEARCH INITIATED 18:29:15 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 6631 TO ITERATE

30.2% PROCESSED 2000 ITERATIONS 1 ANSWERS
 INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
 SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
 BATCH **COMPLETE**
 PROJECTED ITERATIONS: 127738 TO 137502
 PROJECTED ANSWERS: 1 TO 175

L10 1 SEA SSS SAM L9

=> s 19 full

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 177.90 U.S. DOLLARS

DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y

FULL SEARCH INITIATED 18:29:19 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 134499 TO ITERATE

100.0% PROCESSED 134499 ITERATIONS 76 ANSWERS
 SEARCH TIME: 00.00.04

L11 76 SEA SSS FUL L9

=> file hcaplus

| | | |
|----------------------|------------|---------|
| COST IN U.S. DOLLARS | SINCE FILE | TOTAL |
| | ENTRY | SESSION |
| FULL ESTIMATED COST | 182.04 | 381.03 |

| | | |
|--|------------|---------|
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE | TOTAL |
| | ENTRY | SESSION |
| CA SUBSCRIBER PRICE | 0.00 | -1.60 |

FILE 'HCAPLUS' ENTERED AT 18:29:27 ON 13 NOV 2008

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10598512

FILE COVERS 1907 - 13 Nov 2008 VOL 149 ISS 20
FILE LAST UPDATED: 12 Nov 2008 (20081112/ED)

HCAPLUS now includes complete International Patent Classification (IPC)
reclassification data for the second quarter of 2008.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> s l11

L12 27 L11

=> s l12 and agejas-chicharro, f?/au

3 AGEJAS-CHICHARRO, F?/AU

L13 1 L12 AND AGEJAS-CHICHARRO, F?/AU

=> d l13, ibib abs hitstr, 1

L13 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:1103576 HCAPLUS

DOCUMENT NUMBER: 143:386923

TITLE: Preparation of pyridines as mGlu5 receptor antagonists

INVENTOR(S): Agejas-Chicharro, Francisco Javier;
Dressman, Bruce Anthony; Gutierrez Sanfeliciano,
Sonia; Henry, Steven Scott; Martinez Perez, Jose
Antonio; Massey, Steven Marc; Monn, James Allen;
Zia-Ebrahimi, Mohammad Sadegh

PATENT ASSIGNEE(S): Eli Lilly and Company, USA

SOURCE: PCT Int. Appl., 154 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----------------|--|----------|-----------------|----------|
| WO 2005094822 | A1 | 20051013 | WO 2005-US7507 | 20050309 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
| RW: | BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, MR, NE, SN, TD, TG | | | |
| EP 1729771 | A1 | 20061213 | EP 2005-724939 | 20050309 |
| R: | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR | | | |
| US 20080194647 | A1 | 20080814 | US 2006-598512 | 20060901 |

Updated Search

10598512

PRIORITY APPLN. INFO.:

US 2004-555137P

P 20040322

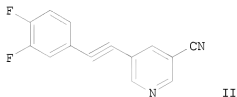
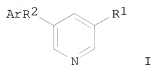
WO 2005-US7507

W 20050309

OTHER SOURCE(S):

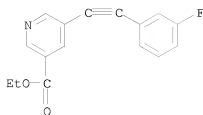
CASREACT 143:386923; MARPAT 143:386923

GI



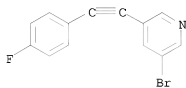
- AB The invention is related to compds. I [Ar = (un)substituted Ph, naphthyl; R₁ = H, halo, CN, CF₃, CO₂H and derivs., etc.; R₂ = 1,2-ethenediyl, 1,2-ethynediyl], their pharmaceutically acceptable salts, and N-oxides as antagonists of the metabotropic glutamate (mGlu), particularly mGlu₅, receptors (no data). I may be useful for treatment or prevention of disorders remedied by antagonism of the mGlu₅ receptor (no data). The invention is also related to the preparation of pyridines I provided they are other than 5-(phenylethynyl)nicotinonitrile. For example, II was prepared, in 56% yield, by Pd-coupling of 3,4-difluoriodobenzene with 5-ethynynicotinonitrile. II may be particularly useful for the treatment of anxiety and/or pain.
- IT 866683-44-5P, 5-(3-Fluorophenylethynyl)nicotinic acid ethyl ester
866683-53-6P, 3-Bromo-5-(4-fluorophenylethynyl)pyridine
866684-64-2P, 3-Bromo-5-(3-chlorophenylethynyl)pyridine
866684-83-5P, 3-Bromo-5-(3,4-difluorophenylethynyl)pyridine
866686-98-8P, 3-Chloro-5-(4-fluoro-3-nitrophenylethynyl)pyridine
866687-00-5P, [5-(5-Chloropyridin-3-ylethynyl)-2-fluorophenyl]amine
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of pyridines as mGlu₅ receptor antagonists)
- RN 866683-44-5 HCAPLUS
- CN 3-Pyridinecarboxylic acid, 5-[2-(3-fluorophenyl)ethynyl]-, ethyl ester
(CA INDEX NAME)

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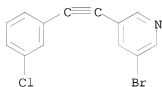
RN 866683-53-6 HCAPLUS

CN Pyridine, 3-bromo-5-[2-(4-fluorophenyl)ethynyl]- (CA INDEX NAME)



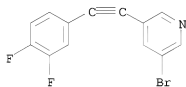
RN 866684-64-2 HCAPLUS

CN Pyridine, 3-bromo-5-[2-(3-chlorophenyl)ethynyl]- (CA INDEX NAME)



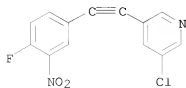
RN 866684-83-5 HCAPLUS

CN Pyridine, 3-bromo-5-[2-(3,4-difluorophenyl)ethynyl]- (CA INDEX NAME)



RN 866686-98-8 HCAPLUS

CN Pyridine, 3-chloro-5-[2-(4-fluoro-3-nitrophenyl)ethynyl]- (CA INDEX NAME)

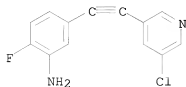


Updated Search

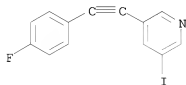
10598512

RN 866687-00-5 HCAPLUS

CN Benzenamine, 5-[2-(5-chloro-3-pyridinyl)ethynyl]-2-fluoro- (CA INDEX NAME)



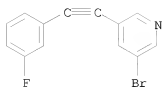
IT 866685-27-0P, 3-[(4-Fluorophenyl)ethynyl]-5-iodopyridine
866685-33-8P, 3-Bromo-5-(3-fluorophenylethynyl)pyridine
866685-47-4P, 3-Bromo-5-(4-fluorophenylethynyl)pyridine
hydrochloride 866685-67-8P,
3-Chloro-5-(3,4-difluorophenylethynyl)pyridine 866685-68-9P,
3-Chloro-5-(4-fluoro-3-methylphenylethynyl)pyridine 866685-75-8P
, 3-Chloro-5-(4-fluoro-3-trifluoromethylphenylethynyl)pyridine
866685-76-9P, 3-Chloro-5-(4-fluorophenylethynyl)pyridine
866686-04-6P, 3-[(3-Chlorophenyl)ethynyl]-5-methylsulfanylpypidine
hydrochloride 866686-11-5P,
3-[(3-Bromo-4-fluorophenyl)ethynyl]-5-chloropyridine 866686-12-6P
, 5-(5-Chloropyridin-3-ylethynyl)-2-fluorobenzamide 866686-14-8P
, 5-(5-Chloropyridin-3-ylethynyl)-2-fluoro-N-methylbenzamide
866686-85-3P, 3-Chloro-5-(3-chloro-4-fluorophenylethynyl)pyridine
866686-86-4P, 5-(5-Chloropyridin-3-ylethynyl)-2-fluorobenzonitrile
866687-04-9P, 5-(5-Chloropyridin-3-ylethynyl)-2-fluoro-N,N-
dimethylbenzamide hydrochloride 866687-05-0P,
N-[5-(5-Chloropyridin-3-ylethynyl)-2-fluorophenyl]acetamide
866687-07-2P, N-[5-(5-Chloropyridin-3-ylethynyl)-2-
fluorophenyl]methanesulfonamide 866687-10-7P,
3-Chloro-5-(4-fluoro-3-methoxyphenylethynyl)pyridine
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(drug candidate; preparation of pyridines as mGlu5 receptor antagonists)
RN 866685-27-0 HCAPLUS
CN Pyridine, 3-[2-(4-fluorophenyl)ethynyl]-5-iodo- (CA INDEX NAME)



RN 866685-33-8 HCAPLUS

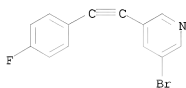
CN Pyridine, 3-bromo-5-[2-(3-fluorophenyl)ethynyl]- (CA INDEX NAME)

10598512



RN 866685-47-4 HCAPLUS

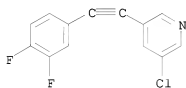
CN Pyridine, 3-bromo-5-[2-(4-fluorophenyl)ethynyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

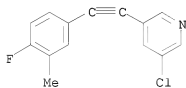
RN 866685-67-8 HCAPLUS

CN Pyridine, 3-chloro-5-[2-(3,4-difluorophenyl)ethynyl]- (CA INDEX NAME)



RN 866685-68-9 HCAPLUS

CN Pyridine, 3-chloro-5-[2-(4-fluoro-3-methylphenyl)ethynyl]- (CA INDEX NAME)

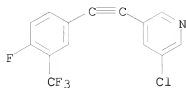


RN 866685-75-8 HCAPLUS

CN Pyridine, 3-chloro-5-[2-[4-fluoro-3-(trifluoromethyl)phenyl]ethynyl]- (CA INDEX NAME)

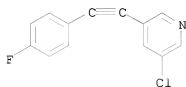
Updated Search

10598512



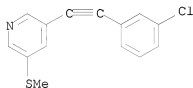
RN 866685-76-9 HCAPLUS

CN Pyridine, 3-chloro-5-[2-(4-fluorophenyl)ethynyl]- (CA INDEX NAME)



RN 866686-04-6 HCAPLUS

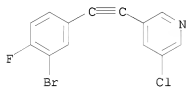
CN Pyridine, 3-[2-(3-chlorophenyl)ethynyl]-5-(methylthio)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 866686-11-5 HCAPLUS

CN Pyridine, 3-[2-(3-bromo-4-fluorophenyl)ethynyl]-5-chloro- (CA INDEX NAME)

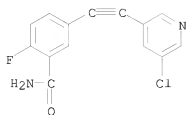


RN 866686-12-6 HCAPLUS

CN Benzamide, 5-[2-(5-chloro-3-pyridinyl)ethynyl]-2-fluoro- (CA INDEX NAME)

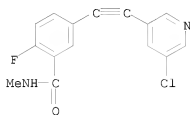
Updated Search

10598512



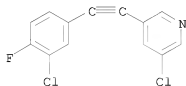
RN 866686-14-8 HCAPLUS

CN Benzamide, 5-[2-(5-chloro-3-pyridinyl)ethynyl]-2-fluoro-N-methyl- (CA INDEX NAME)



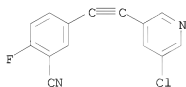
RN 866686-85-3 HCAPLUS

CN Pyridine, 3-chloro-5-[2-(3-chloro-4-fluorophenyl)ethynyl]- (CA INDEX NAME)



RN 866686-86-4 HCAPLUS

CN Benzonitrile, 5-[2-(5-chloro-3-pyridinyl)ethynyl]-2-fluoro- (CA INDEX NAME)

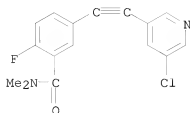


RN 866687-04-9 HCAPLUS

CN Benzamide, 5-[2-(5-chloro-3-pyridinyl)ethynyl]-2-fluoro-N,N-dimethyl-, hydrochloride (1:1) (CA INDEX NAME)

Updated Search

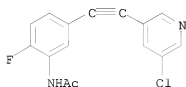
10598512



● HC1

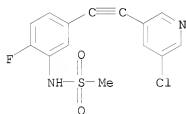
RN 866687-05-0 HCAPLUS

CN Acetamide, N-[5-[2-(5-chloro-3-pyridinyl)ethynyl]-2-fluorophenyl]- (CA INDEX NAME)



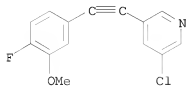
RN 866687-07-2 HCAPLUS

CN Methanesulfonamide, N-[5-[2-(5-chloro-3-pyridinyl)ethynyl]-2-fluorophenyl]- (CA INDEX NAME)



RN 866687-10-7 HCAPLUS

CN Pyridine, 3-chloro-5-[2-(4-fluoro-3-methoxyphenyl)ethynyl]- (CA INDEX NAME)



REFERENCE COUNT:

21

THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS

Updated Search

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d his

(FILE 'HOME' ENTERED AT 18:08:42 ON 13 NOV 2008)

FILE 'REGISTRY' ENTERED AT 18:08:51 ON 13 NOV 2008

L1 STRUCTURE UPLOADED
 L2 1 S L1
 L3 46 S L1 FULL

FILE 'HCAPLUS' ENTERED AT 18:12:39 ON 13 NOV 2008

L4 2 S L3
 L5 1 S L4 AND AGEJAS-CHICHARRO, F?/AU
 L6 1 S L4 NOT L5
 L7 0 S L6 AND DRESSMAN, B?/AU

FILE 'CAOLD' ENTERED AT 18:13:40 ON 13 NOV 2008

L8 0 S L3

FILE 'REGISTRY' ENTERED AT 18:24:19 ON 13 NOV 2008

L9 STRUCTURE UPLOADED
 L10 1 S L9
 L11 76 S L9 FULL

FILE 'HCAPLUS' ENTERED AT 18:29:27 ON 13 NOV 2008

L12 27 S L11
 L13 1 S L12 AND AGEJAS-CHICHARRO, F?/AU

=> s l12 not l13

L14 26 L12 NOT L13

=> s l14 and dressman, b?/au

27 DRESSMAN, B?/AU
 L15 0 L14 AND DRESSMAN, B?/AU

=> s l14 and saneliciano, s?/au

0 SANELICIANO, S?/AU
 L16 0 L14 AND SANELICIANO, S?/AU

=> s l14 and henry, s?/au

603 HENRY, S?/AU
 L17 0 L14 AND HENRY, S?/AU

=> s l14 and perez, j?/au

3069 PEREZ, J?/AU
 L18 0 L14 AND PEREZ, J?/AU

=> d l14, ibib abs hitstr, 1-26

L14 ANSWER 1 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2008:1251984 HCAPLUS

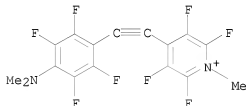
TITLE: Direct cationic hair dye compositions comprising a
 substituted acetylenic carbocyanine derivative

INVENTOR(S): Lagrange, Alain

10598512

PATENT ASSIGNEE(S): L'Oreal, Fr.
 SOURCE: Fr. Demande, 42pp.
 CODEN: FRXXBL
 DOCUMENT TYPE: Patent
 LANGUAGE: French
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|------|----------|-----------------|----------|
| | FR 2914855 | A1 | 20081017 | FR 2007-54453 | 20070413 |
| PRIORITY APPLN. INFO.: | | | | FR 2007-54453 | 20070413 |
| AB | Direct cationic hair dye compns. containing a substituted acetylenic carbocyanine derivative are claimed. A hair dye preparation contained 2-(p-diethylaminophenylacetylenyl)pyridinium 0.5%, alkyl polyglucoside 5, PEG-8 6, benzyl alc. 4, hydroxyethyl cellulose 2, buffer pH = 9 50%, and water q.s. 100%. | | | | |
| IT | 506438-90-0D, salts | | | | |
| | RL: COS (Cosmetic use); BIOL (Biological study); USES (Uses) (direct cationic hair dye compns. comprising substituted acetylenic carbocyanine derivative) | | | | |
| RN | 506438-90-0 HCAPLUS | | | | |
| CN | Pyridinium, 4-[2-[4-(dimethylamino)-2,3,5,6-tetrafluorophenyl]ethynyl]-2,3,5,6-tetrafluoro-1-methyl- (CA INDEX NAME) | | | | |



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 2 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2008:770036 HCAPLUS
 DOCUMENT NUMBER: 149:104704
 TITLE: Preparation of novel 2-amino-5,5-diaryl-imidazol-4-ones for treating cognitive impairment, Alzheimer's disease, neurodegeneration and dementia
 INVENTOR(S): Berg, Stefan; Holenz, Joerg; Karlstroem, Sofia; Kihlstrom, Jacob; Lindstrom, Johan; Rakos, Laszlo
 PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astex Therapeutics Ltd.
 SOURCE: PCT Int. Appl., 281pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

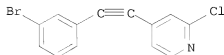
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|----------|
| WO 2008076046 | A1 | 20080626 | WO 2007-SE1119 | 20071218 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM US 20080176862 A1 20080724 US 2007-959561 20071219 PRIORITY APPLN. INFO.: US 2006-870936P 20061220 US 2007-917989P 20070515 OTHER SOURCE(S): MARPAT 149:104704 GI | | | | |

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [A = (un)substituted Ph, heteroaryl; B = H, halo, CN, (un)substituted Ph, heterocyclyl, heteroaryl, cycloalk(en)yl, alk(en)yl, alk(en)ylcycloalkyl; C = (un)substituted Ph, heteroaryl, heterocyclyl; R1, R2 = OSO2R6; R6 = CF3, NMe2, (un)substituted cyclo/alkyl, (hetero)aryl; R7 = (un)substituted alkyl; m, n = independently 0-1; one of m or n is at least 1; with the exclusion of specified compds.; and their pharmaceutically acceptable salts and solvates], useful in treatment or prophylaxis of cognitive impairment, Alzheimer's disease, neurodegeneration and dementia, were prepared. Thus, a multi-step synthesis starting from 2-bromo-1-fluoro-4-iodobenzene was given for II•1/2MeCO2H. II•1/2MeCO2H showed IC50 of 89 nM in TR-FRET assay. Pharmaceutical compns. comprising the compound I alone or in combination with the other therapeutic agent are disclosed.

IT 1035268-77-9P, 4-[(3-Bromophenyl)ethynyl]-2-chloropyridine
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (intermediate; preparation of 2-amino-5,5-diaryl-imidazol-4-ones for treating and preventing cognitive impairment, Alzheimer's disease, neurodegeneration and dementia)

RN 1035268-77-9 HCAPLUS
 CN Pyridine, 4-[2-(3-bromophenyl)ethynyl]-2-chloro- (CA INDEX NAME)



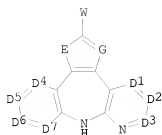
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

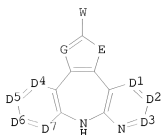
L14 ANSWER 3 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2007:383636 HCAPLUS
 DOCUMENT NUMBER: 146:401967
 TITLE: Preparation of tetracyclic inhibitors of Janus kinases
 INVENTOR(S): Arvanitis, Argyrios G.; Rodgers, James D.; Combs, Andrew P.; Sparks, Richard B.; Robinson, Darius J.; Fridman, Jordan S.; Vaddi, Krishna
 PATENT ASSIGNEE(S): Incyte Corporation, USA
 SOURCE: PCT Int. Appl., 148pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|-----------------|------------|
| WO 2007038215 | A1 | 20070405 | WO 2006-US36872 | 20060921 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW | | | |
| RW: | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| CA 2621261 | A1 | 20070405 | CA 2006-2621261 | 20060921 |
| US 20070149506 | A1 | 20070628 | US 2006-524641 | 20060921 |
| EP 1926735 | A1 | 20080604 | EP 2006-825052 | 20060921 |
| R: | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS | | | |
| PRIORITY APPLN. INFO.: | | | US 2005-719462P | P 20050922 |
| | | | US 2006-810490P | P 20060602 |
| | | | WO 2006-US36872 | W 20060921 |

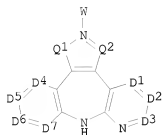
OTHER SOURCE(S): MARPAT 146:401967
 GI



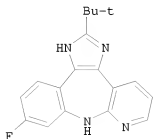
I



II



III



IV

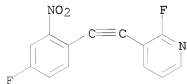
AB The invention is related to tetracyclic compds. I, II, and III [D1-D7 = independently CR1, N; E = O, S, SO, SO2, NH and derivs.; G = N, CH and derivs.; Q1, Q2 = independently H, NH and derivs.; W = -W1-W2-W3-W4; W1 = absent, O, S, NH and derivs., SO2, NHCONH and derivs., alkyl, etc.; W2 = absent, (un)substituted alk(en/yn)yl, (hetero)aryl, etc.; W3 = absent, :N, :NO, alkoxy, CONH and derivs., SONH and derivs., (un)substituted alk(en/yn)yl, etc.; W4 = H, CN, NH2 and derivs., (un)substituted cycloalkyl, heterocycloalkyl, etc.; provided that when D7 = N, E = O, S; and G = N, then W is other than H] and their pharmaceutically acceptable salts or prodrugs, that modulate, especially inhibit, the activity of Janus kinases. Thus, IV was prepared by a general procedure. Selected tetracyclic compds. I-III showed an IC50 of 10µM or less for the inhibition of JAK1 and/or JAK2, and/or JAK3 in an in vitro assay. Thus, I-III are useful in the treatment of diseases related to activity of Janus kinases including, for example, immune-related diseases, skin disorders, myeloid proliferative disorders, cancer, and other diseases.

IT 933768-07-1P, 2-Fluoro-3-[(4-fluoro-2-nitrophenyl)ethynyl]pyridine
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of tetracyclic inhibitors of Janus kinases)

RN 933768-07-1 HCAPLUS

CN Pyridine, 2-fluoro-3-[2-(4-fluoro-2-nitrophenyl)ethynyl]- (CA INDEX NAME)



10598512

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 4 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1330282 HCAPLUS

DOCUMENT NUMBER: 147:486182

TITLE: One-shot double elimination process: a practical and concise protocol for diarylacetylenes

AUTHOR(S): Orita, Akihiro; Taniguchi, Hisataka; Otera, Junzo
CORPORATE SOURCE: Department of Applied Chemistry, Okayama University of Science, Ridai-cho, Okayama, 700-0005, Japan

SOURCE: Chemistry--An Asian Journal (2006), 1(3), 430-437
CODEN: CAAJBI; ISSN: 1861-4728

PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA

DOCUMENT TYPE: Journal

LANGUAGE: English

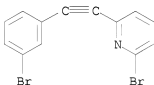
OTHER SOURCE(S): CASREACT 147:486182

AB A variety of diarylacetylenes were obtained in good yields when lithium hexamethyldisilazide was added to a solution of aryl Me sulfone, aryl aldehyde, and di-Et chlorophosphate in THF. In this one-shot process, a number of transformations such as aldol reaction, phosphorylation of aldolate, and double elimination of the resulting β -substituted sulfone proceeded successively to afford the desired acetylenes. The one-shot process was accelerated by the substitution of halogen atoms on the Ph groups, and unsym. substituted diarylacetylenes were obtained without contamination of the dehalogenated products. Diarylacetylenes with other substituents such as CF₃, CO₂Et, NMe₂, C.tplbond.CSiMe₃ as well as pyridinyl and thienyl moieties were also accessible with this method. However, methoxy-substituted compds. were obtained in moderate yields under the same conditions, but the yields were increased when lithium diisopropylamide was used instead.

IT 954108-66-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of diarylacetylenes from sulfone, aldehyde and chlorophosphate)

RN 954108-66-8 HCAPLUS

CN Pyridine, 2-bromo-6-[2-(3-bromophenyl)ethynyl]- (CA INDEX NAME)



REFERENCE COUNT: 57 THERE ARE 57 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 5 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:1091814 HCAPLUS

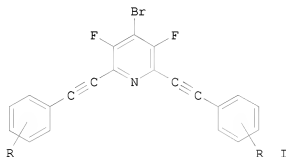
DOCUMENT NUMBER: 146:462104

TITLE: Polyhaloheterocyclic compounds. Part 53. Sonogashira reactions of 2,4,6-tribromo-3,5-difluoropyridine

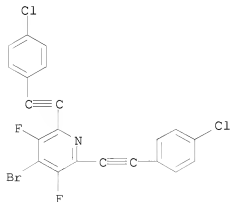
AUTHOR(S): Benmansour, Hadjar; Chambers, Richard D.; Sandford, Graham; Yufit, Dmitrii S.; Howard, Judith A. K.

10598512

CORPORATE SOURCE: Department of Chemistry, University of Durham, Durham,
DH1 3LE, UK
SOURCE: ARKIVOC (Gainesville, FL, United States) (2007), (11),
46-55
CODEN: AGFUAR
URL: http://www.arkat-usa.org/ARKIVOC/JOURNAL_CONTENT/manuscripts/2007/HG-2110EP%20as%20published%20mainmanuscript.pdf
PUBLISHER: Arkat USA Inc.
DOCUMENT TYPE: Journal; (online computer file)
LANGUAGE: English
OTHER SOURCE(S): CASREACT 146:462104
GI



AB Palladium-catalyzed Sonogashira reactions between
2,4,6-tribromo-3,5-difluoropyridine and a variety of phenylacetylene
derivs. gave 4-bromo-2,6-bis(2-phenylethynyl)-3,5-difluoropyridines (I; R
= H, 4-MeO, 4-F, 2-Cl, 4-Cl, 4-Br).
IT 935395-86-1P 935395-87-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(bis(arylethynyl)bromodifluoropyridines via palladium complex catalyzed
Sonogashira coupling of tribromodifluoropyridine with arylacetylenes)
RN 935395-86-1 HCAPLUS
CN Pyridine, 4-bromo-2,6-bis[2-(4-chlorophenyl)ethynyl]-3,5-difluoro- (CA
INDEX NAME)

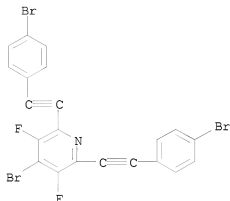


Updated Search

10598512

RN 935395-87-2 HCAPLUS

CN Pyridine, 4-bromo-2,6-bis[2-(4-bromophenyl)ethynyl]-3,5-difluoro- (CA
INDEX NAME)

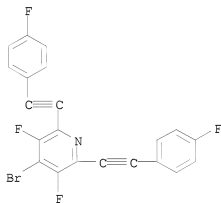


IT 935395-84-9P 935395-85-0P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(crystal structure; bis(arylethynyl)bromodifluoropyridines via
palladium complex catalyzed Sonogashira coupling of
tribromodifluoropyridine with arylacetylenes)

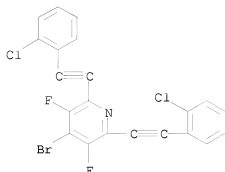
RN 935395-84-9 HCAPLUS

CN Pyridine, 4-bromo-3,5-difluoro-2,6-bis[2-(4-fluorophenyl)ethynyl]- (CA
INDEX NAME)



RN 935395-85-0 HCAPLUS

CN Pyridine, 4-bromo-2,6-bis[2-(2-chlorophenyl)ethynyl]-3,5-difluoro- (CA
INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 6 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2005:1155535 HCAPLUS
 DOCUMENT NUMBER: 143:422040
 TITLE: Diarylalkyne compounds with MCH-receptor antagonistic activity, their preparation, pharmaceutical compositions, and use in therapy
 PATENT ASSIGNEE(S): Boehringer Ingelheim International GmbH, Germany
 SOURCE: U.S. Pat. Appl. Publ., 62 pp.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|-----------------------|------------|
| US 20050239826 | A1 | 20051027 | US 2005-104915 | 20050413 |
| DE 102004017935 | A1 | 20051103 | DE 2004-102004017935 | 20040414 |
| CA 2559021 | A1 | 20051103 | CA 2005-2559021 | 20050408 |
| WO 2005103031 | A1 | 20051103 | WO 2005-EP3683 | 20050408 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
| RW: | BW, GH, GM, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| EP 1740572 | A1 | 20070110 | EP 2005-716558 | 20050408 |
| R: | AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR | | | |
| JP 2007532593 | T | 20071115 | JP 2007-507706 | 20050408 |
| PRIORITY APPLN. INFO.: | | | DE 2004-102004017935A | 20040414 |
| | | | US 2004-563677P | P 20040420 |
| | | | WO 2005-EP3683 | W 20050408 |

OTHER SOURCE(S):
GI

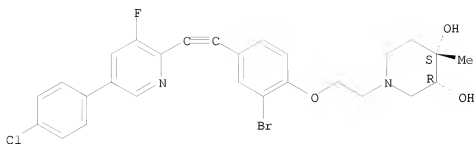
CASREACT 143:422040; MARPAT 143:422040

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

- AB The invention relates to alkyne compds. of general formula I, which are antagonists of melanin-concentrating hormone (MCH) receptors. In compds. I, R1 is selected from C3-6 alkenyl, C3-6 alkynyl, (hydroxy-C3-7 cycloalkyl)-C1-3 alkyl, oxa-C4-7 cycloalkyl, and dihydroxy-C3-7 alkyl, each optionally substituted; R2 is independently selected from H, (un)substituted C1-8 alkyl, (un)substituted C3-7 cycloalkyl, (un)substituted Ph, (un)substituted pyridinyl, etc., or R1 and R2, together with the N atom to which they are bound, form an (un)substituted heterocycle; X is (un)substituted C1-4 alkylene; W and Z are each independently a bond or a C1-2 alkylene; Y and A are each independently (un)substituted Ph, (un)substituted pyridinyl, (un)substituted pyrimidinyl, (un)substituted pyrazinyl, etc.; B is (un)substituted C1-6 alkyl, (un)substituted C2-6 alkenyl, (un)substituted C3-7 cycloalkyl, (un)substituted Ph, (un)substituted pyridinyl, etc.; including tautomers, enantiomers, salts, and mixts. thereof, with 6 specific compds. excluded. The invention also relates to the preparation of I, pharmaceutical compns. containing I and one or more physiol. acceptable excipients, inert carriers or diluents, as well as to the use of the compns. for the treatment of metabolic disorders and/or eating disorders, particularly obesity and diabetes. N-Alkylation of 3-methylpyridine with benzyl chloride followed by hydride reduction, asym. dihydroxylation, and debenzoylation gave optically active piperidinediol II. 2-Bromoethanol underwent substitution with 4-iodo-2-methylphenol to give the corresponding ether, which was coupled with trimethylsilylacetylene and desilylated to give alkyne III. Coupling of III with 2,5-dibromopyridine, Suzuki coupling with 4-chlorophenylboronic acid, mesylation and substitution with piperidinediol II resulted in the formation of diarylalkyne IV. The compds. of the invention are MCH-receptor antagonists, with compound IV expressing an IC50 value of 10.9 nM.
- IT 1056986-35-6 1056986-36-7 1056986-37-8
1056986-38-9 1056986-39-0 1056986-40-3
1056986-41-4
RL: PRPH (Prophetic)
(Diarylalkyne compounds with MCH-receptor antagonistic activity, their preparation, pharmaceutical compositions, and use in therapy)
- RN 1056986-35-6 HCAPLUS
- CN 3,4-Piperidinediol, 1-[2-[2-bromo-4-[2-[5-(4-chlorophenyl)-3-fluoro-2-pyridinyl]ethynyl]phenoxy]ethyl]-4-methyl-, (3R,4S)- (CA INDEX NAME)

Absolute stereochemistry.

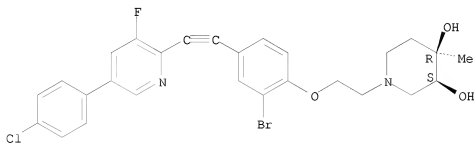
10598512



RN 1056986-36-7 HCAPLUS

CN 3,4-Piperidinediol, 1-[2-[2-bromo-4-[2-[5-(4-chlorophenyl)-3-fluoro-2-pyridinyl]ethynyl]phenoxy]ethyl]-4-methyl-, (3S,4R)- (CA INDEX NAME)

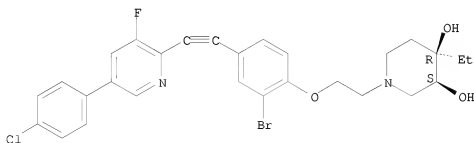
Absolute stereochemistry.



RN 1056986-37-8 HCAPLUS

CN 3,4-Piperidinediol, 1-[2-[2-bromo-4-[2-[5-(4-chlorophenyl)-3-fluoro-2-pyridinyl]ethynyl]phenoxy]ethyl]-4-ethyl-, (3S,4R)- (CA INDEX NAME)

Absolute stereochemistry.



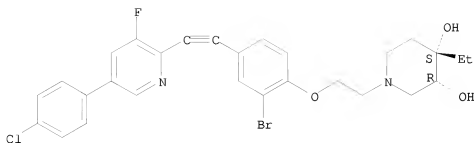
RN 1056986-38-9 HCAPLUS

CN 3,4-Piperidinediol, 1-[2-[2-bromo-4-[2-[5-(4-chlorophenyl)-3-fluoro-2-pyridinyl]ethynyl]phenoxy]ethyl]-4-ethyl-, (3R,4S)- (CA INDEX NAME)

Absolute stereochemistry.

Updated Search

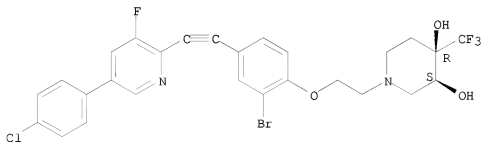
10598512



RN 1056986-39-0 HCAPLUS

CN 3,4-Piperidinediol, 1-[2-[2-bromo-4-[2-[5-(4-chlorophenyl)-3-fluoro-2-pyridinyl]ethynyl]phenoxy]ethyl]-4-(trifluoromethyl)-, (3S,4R)- (CA INDEX NAME)

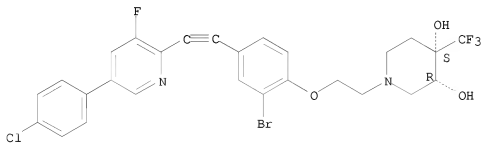
Absolute stereochemistry.



RN 1056986-40-3 HCAPLUS

CN 3,4-Piperidinediol, 1-[2-[2-bromo-4-[2-[5-(4-chlorophenyl)-3-fluoro-2-pyridinyl]ethynyl]phenoxy]ethyl]-4-(trifluoromethyl)-, (3R,4S)- (CA INDEX NAME)

Absolute stereochemistry.

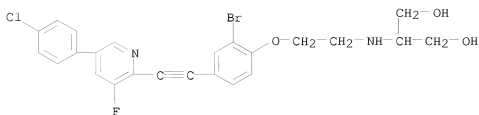


RN 1056986-41-4 HCAPLUS

CN 1,3-Propanediol, 2-[[2-[2-bromo-4-[2-[5-(4-chlorophenyl)-3-fluoro-2-pyridinyl]ethynyl]phenoxy]ethyl]amino]- (CA INDEX NAME)

Updated Search

10598512



IT 866928-79-2P

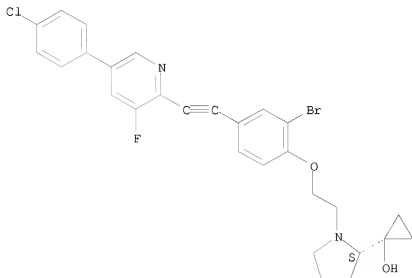
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of diarylalkynes as MCH-receptor antagonists)

RN 866928-79-2 HCAPLUS

CN Cyclopropanol, 1-[(2S)-1-[2-[2-bromo-4-[2-[5-(4-chlorophenyl)-3-fluoro-2-pyridinyl]ethynyl]phenoxy]ethyl]-2-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.



IT 866929-99-9P, 2-[2-Bromo-4-[5-(4-chlorophenyl)-3-fluoropyridin-2-ylethynyl]phenoxy]ethanol 866930-00-9P,

2-[2-Bromo-4-[5-(4-chlorophenyl)-3-fluoropyridin-2-ylethynyl]phenoxy]ethyl methanesulfonate

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

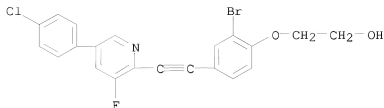
(intermediate; preparation of diarylalkynes as MCH-receptor antagonists)

RN 866929-99-9 HCAPLUS

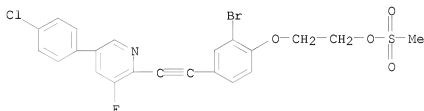
CN Ethanol, 2-[2-bromo-4-[2-[5-(4-chlorophenyl)-3-fluoro-2-pyridinyl]ethynyl]phenoxy]- (CA INDEX NAME)

Updated Search

10598512



RN 866930-00-9 HCAPLUS
 CN Ethanol, 2-[2-bromo-4-[2-[5-(4-chlorophenyl)-3-fluoro-2-pyridinyl]ethynyl]phenoxy]-, 1-methanesulfonate (CA INDEX NAME)



L14 ANSWER 7 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:1132924 HCAPLUS

DOCUMENT NUMBER: 143:405812

TITLE: Preparation of substituted pyridine alkynes with MCH antagonistic activity for the treatment of metabolic disorders

INVENTOR(S): Stenkamp, Dirk; Mueller, Stephan Georg; Lustenberger, Philipp; Lehmann-Lintz, Thorsten; Roth, Gerald Juergen; Rudolf, Klaus; Schindler, Marcus; Thomas, Leo; Lotz, Ralf

PATENT ASSIGNEE(S): Boehringer Ingelheim International GmbH, Germany

SOURCE: U.S. Pat. Appl. Publ., 67 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

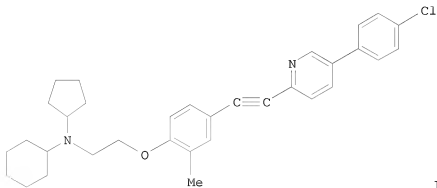
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-----------------|------|----------|----------------------|----------|
| US 20050234101 | A1 | 20051020 | US 2005-104889 | 20050413 |
| DE 102004017934 | A1 | 20051103 | DE 2004-102004017934 | 20040414 |
| CA 2559688 | A1 | 20051103 | CA 2005-2559688 | 20050408 |
| WO 2005103002 | A2 | 20051103 | WO 2005-EP3685 | 20050408 |
| WO 2005103002 | A3 | 20060202 | | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL,

10598512

SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA,
 ZM, ZW
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
 AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
 EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
 RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
 MR, NE, SN, TD, TG
 EP 1737823 A2 20070103 EP 2005-737015 20050408
 R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR
 JP 2007532595 T 20071115 JP 2007-507708 20050408
 DE 2004-102004017934A 20040414
 US 2004-563590P P 20040420
 WO 2005-EP3685 W 20050408
 PRIORITY APPLN. INFO.:
 OTHER SOURCE(S): CASREACT 143:405812
 GI

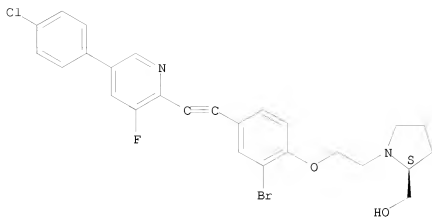


II

AB Various substituted pyridinyl alkynes are prepared For instance,
 2-[[4-[[5-(4-chlorophenyl)pyridin-2-yl]ethynyl]-2-methylphenyl]oxy]ethyl
 methanesulfonate (I) is prepared in 6 steps from 4-iodophenol,
 2-bromoethanol, trimethylsilylacetylene, 2,5-dibromopyridine and
 4-chlorophenylboronic acid. This intermediate is reacted with a variety
 of amines to produce example compds. I is converted to II by displacement
 with the corresponding amine. II exhibits an IC₅₀ = 6.2 nM for MCH-1.
 Example compds. are useful for the treatment of metabolic disorders and/or
 eating disorders, particularly obesity and diabetes.
 IT 866928-78-1P 866928-79-2P 866928-80-5P
 866928-81-6P 866928-82-7P 866928-83-8P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)
 (preparation of substituted pyridine alkynes with MCH antagonistic activity
 for treatment of metabolic disorders)
 RN 866928-78-1 HCAPLUS
 CN 2-Pyrrolidinemethanol, 1-[2-[2-bromo-4-[2-[5-(4-chlorophenyl)-3-fluoro-2-
 pyridinyl]ethynyl]phenoxy]ethyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

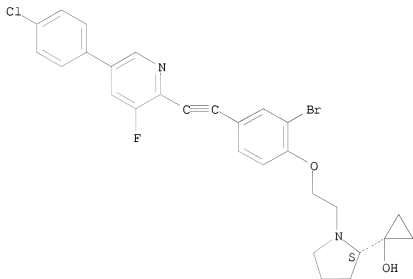
10598512



RN 866928-79-2 HCAPLUS

CN Cyclopropanol, 1-[(2S)-1-[2-[2-bromo-4-[2-[5-(4-chlorophenyl)-3-fluoro-2-pyridinyl]ethynyl]phenoxy]ethyl]-2-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.



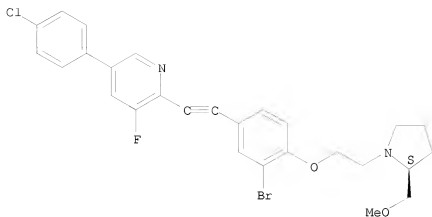
RN 866928-80-5 HCAPLUS

CN Pyridine, 2-[2-[3-bromo-4-[2-[(2S)-2-(methoxymethyl)-1-pyrrolidinyl]ethoxy]phenyl]ethynyl]-5-(4-chlorophenyl)-3-fluoro- (CA INDEX NAME)

Absolute stereochemistry.

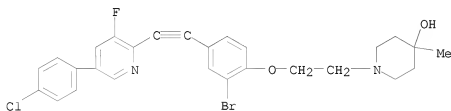
Updated Search

10598512



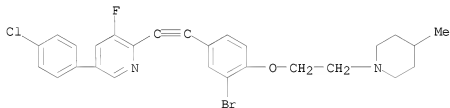
RN 866928-81-6 HCAPLUS

CN 4-Piperidinol, 1-[2-[2-bromo-4-[2-[5-(4-chlorophenyl)-3-fluoro-2-pyridinyl]ethynyl]phenoxy]ethyl]-4-methyl- (CA INDEX NAME)



RN 866928-82-7 HCAPLUS

CN Pyridine, 2-[2-[3-bromo-4-[2-(4-methyl-1-piperidinyl)ethoxy]phenyl]ethynyl]-5-(4-chlorophenyl)-3-fluoro- (CA INDEX NAME)

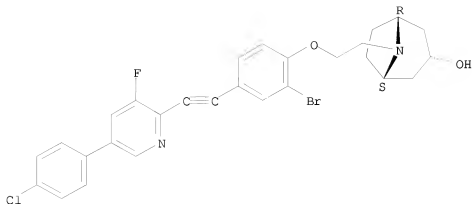


RN 866928-83-8 HCAPLUS

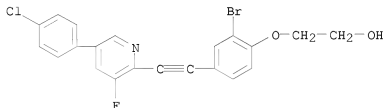
CN 8-Azabicyclo[3.2.1]octan-3-ol, 8-[2-[2-bromo-4-[2-[5-(4-chlorophenyl)-3-fluoro-2-pyridinyl]ethoxy]phenyl]ethynyl]-, (3-endo)- (CA INDEX NAME)

Relative stereochemistry.

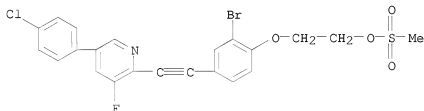
Updated Search



IT 866929-99-9P, 2-[2-Bromo-4-[5-(4-chlorophenyl)-3-fluoropyridin-2-ylethynyl]phenoxy]ethanol 866930-00-9P, 2-[2-Bromo-4-[5-(4-chlorophenyl)-3-fluoropyridin-2-ylethynyl]phenoxy]ethyl methanesulfonate
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of substituted pyridine alkynes with MCH antagonistic activity for treatment of metabolic disorders)
 RN 866929-99-9 HCAPLUS
 CN Ethanol, 2-[2-bromo-4-[2-[5-(4-chlorophenyl)-3-fluoro-2-pyridinyl]ethynyl]phenoxy]- (CA INDEX NAME)

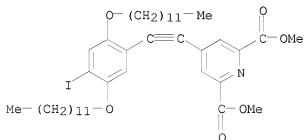


RN 866930-00-9 HCAPLUS
 CN Ethanol, 2-[2-bromo-4-[2-[5-(4-chlorophenyl)-3-fluoro-2-pyridinyl]ethynyl]phenoxy]-, 1-methanesulfonate (CA INDEX NAME)



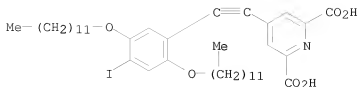
10598512

ACCESSION NUMBER: 2005:479549 HCAPLUS
DOCUMENT NUMBER: 143:172503
TITLE: Supramolecular Nano Networks Formed by
Molecular-Recognition-Directed Self-Assembly of
Ditopic Calix[5]arene and Dumbbell [60]Fullerene
AUTHOR(S): Haino, Takeharu; Matsumoto, Youko; Fukazawa, Yoshimasa
CORPORATE SOURCE: Department of Chemistry, Graduate School of Science,
Hiroshima University, Higashi-Hiroshima, 739-8526,
Japan
SOURCE: Journal of the American Chemical Society (2005),
127(25), 8936-8937
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 143:172503
AB Dumbbell fullerene and ditopic bisdouble-calix[5]arene were synthesized.
Their iterative host-guest complexations create the supramol. nano
network. SEM revealed the formation of the branched fiber, possessing a
length of >100 μm and widths of 250-500 nm on a glass plate. More
detailed information was given by atomic force microscopy. The formed fibers
on a mica plate have widths of 60-90 nm and heights of 1.2-1.9 nm. The
nanosize assemblies are probably composed of a bundle of 40-60 polymer
chains created by entangling the alkyl side chains with van der Waals
interaction.
IT 861108-92-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(hydrolysis; supramol. nano networks formed by
mol.-recognition-directed self-assembly of ditopic calix[5]arene and
dumbbell C60)
RN 861108-92-1 HCAPLUS
CN 2,6-Pyridinedicarboxylic acid, 4-[2-[2,5-bis(dodecyloxy)-4-
iodophenyl]ethynyl]-, 2,6-dimethyl ester (CA INDEX NAME)



IT 861108-93-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(supramol. nano networks formed by mol.-recognition-directed
self-assembly of ditopic calix[5]arene and dumbbell C60)
RN 861108-93-2 HCAPLUS
CN 2,6-Pyridinedicarboxylic acid, 4-[2-[2,5-bis(dodecyloxy)-4-
iodophenyl]ethynyl]- (CA INDEX NAME)

Updated Search



REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 9 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:177838 HCAPLUS

DOCUMENT NUMBER: 142:280057

TITLE: Preparation of substituted pyridinones as modulators of p38 MAP kinase

INVENTOR(S): Devadas, Balekudru; Walker, John; Selness, Shaun R.; Boehm, Terri L.; Durley, Richard C.; Devraj, Rajesh; Hickory, Brian S.; Rucker, Paul V.; Jerome, Kevin D.; Madsen, Heather M.; Alvira, Edgardo; Promo, Michele A.; Bleviss-Bal, Radhika M.; Marrufo, Laura D.; Hitchcock, Jeff; Owen, Thomas; Naing, Win; Xing, Li; Shieh, Huey S.; Sambandam, Aruna; Liu, Shuang; Scott, Ian L.; Mcgee, Kevin F.

PATENT ASSIGNEE(S): Pharmacia Corporation, USA

SOURCE: PCT Int. Appl., 968 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

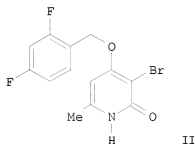
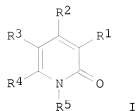
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|-----------------|------------|
| WO 2005018557 | A2 | 20050303 | WO 2004-US26193 | 20040813 |
| WO 2005018557 | A3 | 20050804 | | |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | |
| RW: | BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| NL 1026826 | A1 | 20050216 | NL 2004-1026826 | 20040812 |
| NL 1026826 | C2 | 20070104 | | |
| US 20050176775 | A1 | 20050811 | US 2004-918826 | 20040813 |
| PRIORITY APPLN. INFO.: | | | US 2003-494959P | P 20030813 |

OTHER SOURCE(S): MARPAT 142:280057

GI



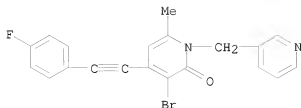
AB Disclosed are title compds. I and their pharmaceutically acceptable salts [R1 H, halo, NO2, CHO, CN, (un)substituted hydroxy/dihydroxy/aryl/alkyl, etc.; R2 = H, OH, halo, (un)substituted alkyl, alkoxy, etc.; R3 = H, halo, (un)substituted aryl/alkoxycarbonyl, arylalkyl, arylthio, etc.; R4 = H, (un)substituted alkyl; R5 = H, aryl, arylalkyl, etc.]. These compds. are useful for treating diseases and conditions caused or exacerbated by unregulated p38 MAP Kinase and/or TNF activity. Pharmaceutical compns. containing the compds., methods of preparing the compds. and methods of treatment

using the compds. are also disclosed. For example, II was prepared, in 3 steps, reacting 4-hydroxy-6-methylpyrone with NH4OH, followed by O-alkylation with 2,4-difluorobenzyl chloride, and bromination with Br2 in AcOH/H2O. Selected I inhibited MKK6-activated human p38 α kinase phosphorylation of a biotinylated substrate or human p38 α -induced phosphorylation of EGFRP (epidermal growth factor receptor peptide) with an IC50 in the range of 1 μ M to 25 μ M.

IT 586378-85-0P, 3-Bromo-4-[2-(4-fluorophenyl)ethynyl]-6-methyl-1-[(pyridin-3-yl)methyl]pyridin-2(1H)-one
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (intermediate; preparation of pyridinones as modulators of p38 MAP kinase for treatment of inflammatory conditions, ischemia, viral infections, autoimmune diseases, and other conditions)

RN 586378-85-0 HCAPLUS

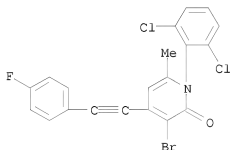
CN 2(1H)Pyridinone, 3-bromo-4-[2-(4-fluorophenyl)ethynyl]-6-methyl-1-(3-pyridinylmethyl)- (CA INDEX NAME)



IT 586386-30-3P, 3-Bromo-1-(2,6-dichlorophenyl)-4-[(4-fluorophenyl)ethynyl]-6-methylpyridin-2(1H)-one
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (p38 kinase inhibitor; preparation of pyridinones as modulators of p38 MAP kinase for treatment of inflammatory conditions, ischemia, viral infections, autoimmune diseases, and other conditions)

RN 586386-30-3 HCAPLUS

CN 2(1H)-Pyridinone, 3-bromo-1-(2,6-dichlorophenyl)-4-[2-(4-fluorophenyl)ethynyl]-6-methyl- (CA INDEX NAME)



L14 ANSWER 10 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:996178 HCAPLUS

DOCUMENT NUMBER: 141:424170

TITLE: Azaindole compounds as Janus kinase 3 (JAK3 kinase) inhibitors, and their preparation, intermediates, and pharmaceutical compositions

INVENTOR(S): David, Laurent; Hansen, Peter

PATENT ASSIGNEE(S): AstraZeneca AB, Swed.

SOURCE: PCT Int. Appl., 46 pp.
 CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|-----------------|----------|
| WO 2004099205 | A1 | 20041118 | WO 2004-SE696 | 20040506 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

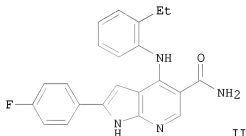
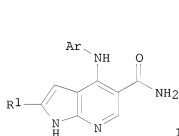
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| | | | | |
|---------------|----|----------|-----------------|----------|
| AU 2004236146 | A1 | 20041118 | AU 2004-236146 | 20040506 |
| AU 2004236146 | B2 | 20071213 | | |
| CA 2523922 | A1 | 20041118 | CA 2004-2523922 | 20040506 |
| EP 1625127 | A1 | 20060215 | EP 2004-731527 | 20040506 |
| EP 1625127 | B1 | 20070523 | | |

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK

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|------------------------|----|----------|------------------|------------|
| BR 2004010117 | A | 20060523 | BR 2004-10117 | 20040506 |
| CN 1784403 | A | 20060607 | CN 2004-80012626 | 20040506 |
| JP 2006525998 | T | 20061116 | JP 2006-508046 | 20040506 |
| AT 362932 | T | 20070615 | AT 2004-731527 | 20040506 |
| ES 2286634 | T3 | 20071201 | ES 2004-731527 | 20040506 |
| IN 2005DN04779 | A | 20071207 | IN 2005-DN4779 | 20051019 |
| MX 2005PA12026 | A | 20060203 | MX 2005-PA12026 | 20051108 |
| US 20060287354 | A1 | 20061221 | US 2005-556227 | 20051109 |
| PRIORITY APPLN. INFO.: | | | SE 2003-1372 | A 20030509 |
| | | | WO 2004-SE696 | W 20040506 |

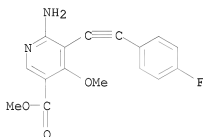
OTHER SOURCE(S): MARPAT 141:424170
GI



AB The invention relates to novel azaindole compds. I. which are kinase inhibitors, specifically of Janus kinase 3, also known as JAK3 kinase. The invention also relates to methods and intermediates for preparation of I, and pharmaceutical compns. comprising I. In compds. I, Ar is Ph which can be optionally substituted by one or more groups selected from halo, OH, cyano, C1-C8 alkyl (itself optionally substituted by one or more OH or cyano groups or F atoms), CH2R2, CH2O(CH2)nO (C1-6-alkyl), or (C1-C8-alkyl)NR3R4; R2 is a 5- to 7-membered saturated ring containing 1 or 2 N/O/S heteroatoms, an aryl or a 5- to 7-membered heteroaryl containing 1-3 N/O/S heteroatoms, all of these being optionally substituted by one or more OH or CH2OH groups; R3 is H or C1-6 alkyl; and R4 is C1-6 alkyl

optionally substituted by one or more groups OH or Ph; n is 1-4; R1 is H or Ph optionally substituted by halo, C1-C8 alkoxy, C1-C8 thioalkyl, or C1-C8 alkyl; and pharmaceutically acceptable salts thereof. Nineteen compds. I were prepared, some as trifluoroacetate salts, and these same compds. are all claimed individually as the free bases. For instance, 6-amino-4-methoxynicotinic acid Me ester was subjected to a sequence of: (1) electrophilic iodination in the 5-position, (2) alkyne coupling of the iodide with HC.tplbond.CC6H4F-4, (3) base-catalyzed cyclization of the alkyne adduct to give a pyrrolopyridine ring, (4) acidic saponification of the ester and demethylation of the methoxy group with HBr, (5) chlorination of the resultant hydroxy group and acid using POCl3, with ammonolysis of the acid chloride, and (6) amination of the ring chloride with 2-ethylaniline, to give invention compound II. In a JAK3 HTRF assay, the example compds. had IC50 values less than 25 μ M.

IT 796032-89-8P, 6-Amino-5-[(4-fluorophenyl)ethynyl]-4-methoxynicotinic acid methyl ester
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (intermediate; preparation of azaindole derivs. as JAK3 kinase inhibitors)
 RN 796032-89-8 HCAPLUS
 CN 3-Pyridinecarboxylic acid, 6-amino-5-[2-(4-fluorophenyl)ethynyl]-4-methoxy-, methyl ester (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 11 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:604339 HCAPLUS

DOCUMENT NUMBER: 141:277462

TITLE: Synthesis, optical properties, crystal structures and phase behaviour of selectively fluorinated 1,4-bis(4'-pyridylethynyl)benzenes, 4-(phenylethynyl)pyridines and 9,10-bis(4'-pyridylethynyl)anthracene, and a Zn(NO3)2 coordination polymer

AUTHOR(S): Fasina, Tolulope M.; Collings, Jonathan C.; Lydon, Donocadh P.; Albesa-Jove, David; Batsanov, Andrei S.; Howard, Judith A. K.; Nguyen, Paul; Bruce, Mitch; Scott, Andrew J.; Clegg, William; Watt, Stephen W.; Viney, Christopher; Marder, Todd B.

CORPORATE SOURCE: Department of Chemistry, University of Durham, Durham, DH1 3LE, UK

SOURCE: Journal of Materials Chemistry (2004), 14(15),

2395-2404

CODEN: JMACEP; ISSN: 0959-9428

PUBLISHER: Royal Society of Chemistry

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 141:277462

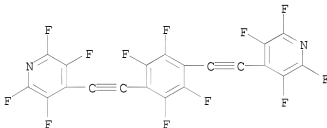
AB Selectively fluorinated and nonfluorinated rigid rods based on the 4-pyridylethynyl group, 1,4-bis(4'-pyridylethynyl)benzene (1a), 1,4-bis(4'-pyridylethynyl)tetrafluorobenzene (1b), 1,4-bis(2',3',5',6'-tetrafluoropyridylethynyl)benzene (1c), 1,4-bis(2',3',5',6'-tetrafluoropyridylethynyl)tetrafluorobenzene (1d), 9,10-bis(4'-pyridylethynyl)anthracene (2), 4-(pentafluorophenylethynyl)pyridine (3a) and 4-(phenylethynyl)tetrafluoropyridine (3b) were prepared in good yields using Pd/Cu-catalyzed Sonogashira cross-coupling reactions and/or Li chemical involving nucleophilic aromatic substitution. UV-visible absorption and fluorescence spectra for 1a-d and 2 are reported. The x-ray crystal structures of 1b, 1c, 2, 3a and 3b show a variety of packing motifs, none of which involve arene-perfluoroarene stacking. The phase behavior of 1a-1c was studied by DTA and transmitted polarized light microscopy. 1b exhibits an ordered phase from 227.6 to 272.5° which is either hexatic B or crystal B. A 1:1 complex (4) between 1b and Zn(NO₃)₂ was prepared; its crystal structure consists of zigzag polymer chains held together by H bonds.

IT 760981-37-1P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (preparation and luminescence spectra)

RN 760981-37-1 HCAPLUS

CN Pyridine, 4,4'-[(2,3,5,6-tetrafluoro-1,4-phenylene)di-2,1-ethynediyl]bis[2,3,5,6-tetrafluoro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 96 THERE ARE 96 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 12 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2004:70323 HCAPLUS

DOCUMENT NUMBER: 140:253552

TITLE: Synthesis and light-emitting characteristics of doughnut-shaped π -electron systems

AUTHOR(S): Yamaguchi, Yoshihiro; Kobayashi, Shigeya; Miyamura, Satoshi; Okamoto, Yoshifumi; Wakamiya, Tateaki; Matsubara, Yoshio; Yoshida, Zen-ichi

CORPORATE SOURCE: Faculty of Science and Engineering, Kinki University, Higashi-Osaka, Osaka, 577-8502, Japan

10598512

SOURCE: Angewandte Chemie, International Edition (2004),
43(3), 366-369
CODEN: ACIEF5; ISSN: 1433-7851
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 140:253552
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Highly sym., functionally and structurally interesting doughnut-shaped octakis-m-cyclines I and similar octakis-p-cyclines were synthesized and shown to be a new class of light-emitting fluorescent materials. A pentacoordinate CuII complex of I (R = MeO2C) exhibits remarkably intense fluorescence, contrary to the behavior expected for CuII complexes, which suggests that other transition-metal complexes of I may also function as luminescent materials.

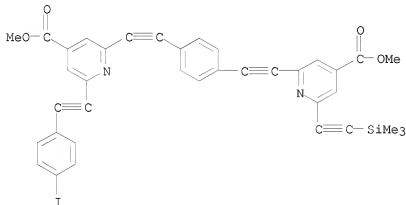
IT 669063-99-4P 669064-01-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and light-emitting characteristics of doughnut-shaped octakis(cyclines) and their complexes)

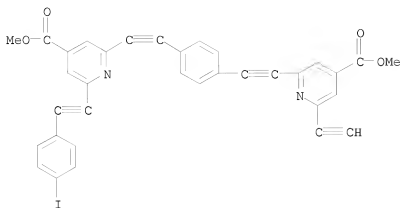
RN 669063-99-4 HCAPLUS

CN 4-Pyridinecarboxylic acid, 2-[[4-[[6-[(4-iodophenyl)ethynyl]-4-(methoxycarbonyl)-2-pyridinyl]ethynyl]phenyl]ethynyl]-6-[[trimethylsilyl]ethynyl]-, methyl ester (9CI) (CA INDEX NAME)



RN 669064-01-1 HCAPLUS

CN 4-Pyridinecarboxylic acid, 2-ethynyl-6-[[4-[[6-[(4-iodophenyl)ethynyl]-4-(methoxycarbonyl)-2-pyridinyl]ethynyl]phenyl]ethynyl]-, methyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 13 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:656582 HCAPLUS

DOCUMENT NUMBER: 139:197371

TITLE: Preparation of substituted pyridinones as modulators of p38 MAP kinase

INVENTOR(S): Devadas, Balekudru; Walker, John; Selness, Shaun R.; Boehm, Terri L.; Durley, Richard C.; Devraj, Rajesh; Hickory, Brian S.; Rucker, Paul V.; Jerome, Kevin D.; Madsen, Heather M.; Alvira, Edgardo; Promo, Michele A.; Blevis-Bal, Radhika M.; Marrufo, Laura D.; Hitchcock, Jeff; Owen, Thomas; Naing, Win; Xing, Li; Shieh, Huey S.; Sambandam, Aruna; Liu, Shuang; Scott, Ian L.; McGee, Kevin F.

PATENT ASSIGNEE(S): Pharmacia Corporation, USA

SOURCE: PCT Int. Appl., 1052 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

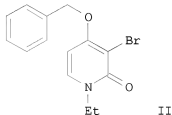
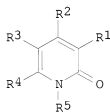
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2003068230 | A1 | 20030821 | WO 2003-US4634 | 20030214 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2476012 | A1 | 20030821 | CA 2003-2476012 | 20030214 |
| AU 2003217433 | A1 | 20030904 | AU 2003-217433 | 20030214 |

| | | | | |
|---|----|----------|-----------------|-------------|
| US 20040058964 | A1 | 20040325 | US 2003-367987 | 20030214 |
| US 7067540 | B2 | 20060627 | | |
| BR 2003007631 | A | 20041221 | BR 2003-7631 | 20030214 |
| EP 1490064 | A1 | 20041229 | EP 2003-713478 | 20030214 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK | | | | |
| CN 1646125 | A | 20050727 | CN 2003-808042 | 20030214 |
| JP 2005531501 | T | 20051020 | JP 2003-567412 | 20030214 |
| JP 4164031 | B2 | 20081008 | | |
| NZ 534395 | A | 20061027 | NZ 2003-534395 | 20030214 |
| IN 2004DN02150 | A | 20050401 | IN 2004-DN2150 | 20040723 |
| MX 2004PA07470 | A | 20041110 | MX 2004-PA7470 | 20040802 |
| ZA 2004006275 | A | 20051004 | ZA 2004-6275 | 20040805 |
| NO 2004003820 | A | 20041109 | NO 2004-3820 | 20040913 |
| US 20060211694 | A1 | 20060921 | US 2005-226556 | 20050914 |
| US 20070088033 | A1 | 20070419 | US 2006-531492 | 20060913 |
| JP 2007023053 | A | 20070201 | JP 2006-263778 | 20060928 |
| KR 2007017443 | A | 20070209 | KR 2007-701895 | 20070125 |
| AU 2007202607 | A1 | 20070628 | AU 2007-202607 | 20070607 |
| PRIORITY APPLN. INFO.: | | | US 2002-357029P | P 20020214 |
| | | | US 2002-436915P | P 20021230 |
| | | | AU 2003-217433 | A3 20030214 |
| | | | JP 2003-567412 | A3 20030214 |
| | | | US 2003-367987 | A1 20030214 |
| | | | WO 2003-US4634 | W 20030214 |
| | | | KR 2004-712622 | A3 20040813 |
| | | | US 2005-226556 | A3 20050914 |

OTHER SOURCE(S): MARPAT 139:197371

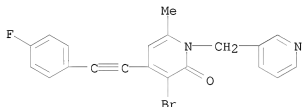
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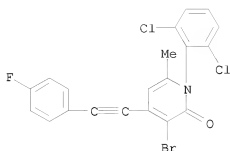
AB Disclosed are title compds. I [wherein R1 = H, halo, NO2, CHO, CN, CO2H, or (un)substituted (halo)alkyl, (aryl)alkoxy, aryl(alkyl), alkenyl, (aryl)alkynyl, (aryl)alkanoyl, alkoxyalkyl, or haloalkoxy; R2 = H, OH, halo, NR8R9, CO2R, or (un)substituted OSO2-alkyl, OSO2-aryl, arylalkoxy, aryloxy(alkyl), arylthio(alkoxy), arylalkynyl, alkoxy(alkoxy), alkyl, alkynyl, OCONH(CH2)n-aryl, OCON(alkyl)(CH2)n-aryl, dialkylamino, (hetero)aryl(alkyl), arylalkenyl, or heterocycloalkyl(alkyl); R3 = H, halo, alkenyl, NR6R7, NR6R7-alkyl, alkyl, or (un)substituted (aryl)alkoxycarbonyl, aryloxy(alkoxy), arylalkyl, OCONH(CH2)n-aryl, arylalkoxy, OCON(alkyl)(CH2)n-aryl, aryloxy, arylthio, or (aryl)thioalkoxy; R4 = H or (un)substituted alkyl; R5 = H, aryl, aryl(thio)alkyl, NH2, alkoxy(alkoxy), alkynyl, SO2-alkyl,

(hetero)cycloalkyl(alkyl), heteroaryl, or (un)substituted alkyl, alkoxy(alkyl), or alkenyl; R6 and R7 = independently H, OH, or (un)substituted (aryl)alkyl, alkoxy(alkyl), alkanoyl(alkyl), arylalkoxy, SO₂-alkyl, (aryl)alkoxycarbonyl, heteroarylalkyl, or arylalkanoyl; or NR6R7 = (un)substituted (thio)morpholinyl, pyrrolidinyl, piperidinyl, pyrrolidinyl, or piperazinyl; R8 = independently H or (un)substituted (aryl)alkyl or (aryl)alkanoyl; R9 = H or (un)substituted (aryl)alkyl, (aryl)alkanoyl, cycloalkyl(alkyl), alkenyl, heteroaryl, (alkyl)aminoalkyl, SO₂Ph, or aryl; R = independently H or (un)substituted alkyl; n = 0-6; and pharmaceutically acceptable salts thereof]. These compds. are useful for treating diseases and conditions caused or exacerbated by unregulated p38 MAP Kinase and/or TNF activity, such as inflammation, ischemia, viral infections, and autoimmune diseases (no data). Pharmaceutical compns. containing I, methods of preparing them, and methods of treatment using the compds. are also disclosed. For example, reaction of 4-benzyloxy-2(1H)-pyridone with EtBr in the presence of K₂CO₃ in DMF gave II. The latter inhibited MKK6-activated human p38 α kinase phosphorylation of a biotinylated substrate or human p38 α -induced phosphorylation of EGFRP (epidermal growth factor receptor peptide) with an IC₅₀ in the range of 1 μ M to 25 μ M.

- IT 586378-85-0P, 3-Bromo-4-[2-(4-fluorophenyl)ethynyl]-6-methyl-1-[(pyridin-3-yl)methyl]pyridin-2(1H)-one
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (intermediate; preparation of pyridinones as modulators of p38 MAP kinase for treatment of inflammatory conditions, ischemia, viral infections, autoimmune diseases, and other conditions)
- RN 586378-85-0 HCAPLUS
- CN 2(1H)-Pyridinone, 3-bromo-4-[2-(4-fluorophenyl)ethynyl]-6-methyl-1-(3-pyridinylmethyl)- (CA INDEX NAME)



- IT 586386-30-3P, 3-Bromo-1-(2,6-dichlorophenyl)-4-[[4-fluorophenyl]ethynyl]-6-methylpyridin-2(1H)-one
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (p38 kinase inhibitor; preparation of pyridinones as modulators of p38 MAP kinase for treatment of inflammatory conditions, ischemia, viral infections, autoimmune diseases, and other conditions)
- RN 586386-30-3 HCAPLUS
- CN 2(1H)-Pyridinone, 3-bromo-1-(2,6-dichlorophenyl)-4-[2-(4-fluorophenyl)ethynyl]-6-methyl- (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 14 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:385603 HCAPLUS

DOCUMENT NUMBER: 139:149513

TITLE: Shape-Persistent Macrocycles with Terpyridine Units: Synthesis, Characterization, and Structure in the Crystal

AUTHOR(S): Grave, Christian; Lentz, Dieter; Schaefer, Andreas; Samori, Paolo; Rabe, Juergen P.; Franke, Peter; Schlueter, A. Dieter

CORPORATE SOURCE: Institut fuer Chemie, Freie Universitaet Berlin, Berlin, D-14195, Germany

SOURCE: Journal of the American Chemical Society (2003), 125(23), 6907-6918

CODEN: JACSAT; ISSN: 0002-7863

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 139:149513

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The synthesis of a variety of shape-persistent macrocycles with either one or two opposing terpyridine units and inner diams. of up to 2 nm is described. The sequences are mainly based on transition metal cross-coupling reactions and, whenever appropriate, compared with one another regarding their resp. efficiency. Typical overall yields and amts. prepared range from 8% to 27% and 25 mg to 290 mg. For solubility and processing of the targeted cycles, all precursors were equipped with flexible side chains (hexyloxy or hexyloxymethyl). Characterization of the products is based on MALDI-TOF mass spectrometry, 2D NMR spectroscopy, and/or low-temperature single-crystal X-ray diffraction. Their packing in the crystal is discussed in terms of both number and length of side chains. Cycle I was physisorbed into an ordered structure at the solution-HOPG interface and investigated by scanning tunneling microscopy (STM).

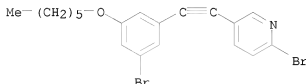
IT 569672-29-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation, characterization, and crystal structure of shape-persistent macrocycles with terpyridine units)

RN 569672-29-3 HCAPLUS

CN Pyridine, 2-bromo-5-[2-[3-bromo-5-(hexyloxy)phenyl]ethynyl]- (CA INDEX NAME)



REFERENCE COUNT: 105 THERE ARE 105 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 15 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:893916 HCAPLUS

DOCUMENT NUMBER: 138:294508

TITLE: Molecular design on substituted DAST derivatives for second-order nonlinear optics

AUTHOR(S): Umezawa, Hirohito; Tsuji, Kyoko; Okada, Shuji; Oikawa, Hidetoshi; Matsuda, Hiro; Nakanishi, Hachiro

CORPORATE SOURCE: Institute of Multidisciplinary Research for Advanced Materials, Tohoku University, Aoba-ku, Sendai, 980-8577, Japan

SOURCE: Optical Materials (Amsterdam, Netherlands) (2003), 21(1-3), 75-78

CODEN: OMATET; ISSN: 0925-3467

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Mol. design of the derivs. of 1-methyl-4-(2-(4-(dimethylamino)phenyl)ethynyl)pyridinium (DAS) was investigated from the following two points, i.e., simple substitution of one aromatic hydrogen atom to enhance hyperpolarizability β and fluorine substitution to decrease optical loss due to overtones of C-H bond vibration. By the screening using semiempirical calcn., 2-cyano-1-methyl-4-(2-(4-(dimethylamino)phenyl)ethynyl)pyridinium 7, 2,3,5,6-tetrafluoro-1-methyl-4-(2-(4-(dimethylamino)-2,3,5,6-tetrafluorophenyl)ethynyl)pyridinium 10, etc. were expected to have larger β than that of DAS. The salts of 7 and 1-methyl-4-(2-(4-(dimethylamino)-2,3,5,6-tetrafluorophenyl)ethynyl)pyridinium as a related cation of 10 were synthesized and four crystals showing second-harmonic generation were found.

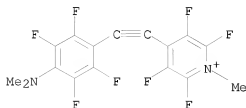
IT 506438-90-0

RL: PRP (Properties)

(mol. design on substituted DAST derivs. for second-order nonlinear optics)

RN 506438-90-0 HCAPLUS

CN Pyridinium, 4-[2-[4-(dimethylamino)-2,3,5,6-tetrafluorophenyl]ethynyl]-2,3,5,6-tetrafluoro-1-methyl- (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 16 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:736252 HCAPLUS

DOCUMENT NUMBER: 137:263031

TITLE: Preparation of 5-substituted imidazolidine-2,4-diones as metalloproteinase inhibitors

INVENTOR(S): Eriksson, Anders; Lepistoe, Matti; Lundkvist, Michael; Munck Af Rosenschoeld, Magnus; Zlatoidsky, Pavol

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.

SOURCE: PCT Int. Appl., 153 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

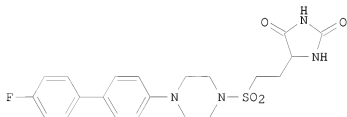
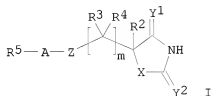
FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|--|----------|-----------------|----------|
| WO 2002074767 | A1 | 20020926 | WO 2002-SE472 | 20020313 |
| W: | AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW | | | |
| RW: | GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| CA 2440630 | A1 | 20020926 | CA 2002-2440630 | 20020313 |
| AU 2002237626 | A1 | 20021003 | AU 2002-237626 | 20020313 |
| AU 2002237626 | B2 | 20070517 | | |
| EE 200300445 | A | 20031215 | EE 2003-445 | 20020313 |
| EP 1370556 | A1 | 20031217 | EP 2002-704031 | 20020313 |
| EP 1370556 | B1 | 20060719 | | |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | |
| BR 2002008104 | A | 20040302 | BR 2002-8104 | 20020313 |
| CN 1509272 | A | 20040630 | CN 2002-809788 | 20020313 |
| CN 1304377 | C | 20070314 | | |
| CN 1509286 | A | 20040630 | CN 2002-809915 | 20020313 |
| CN 1509276 | A | 20040630 | CN 2002-810093 | 20020313 |

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|---|----|----------|------------------|-------------|
| CN 1269804 | C | 20060816 | | |
| JP 2004527515 | T | 20040909 | JP 2002-573776 | 20020313 |
| HU 2004000327 | A2 | 20050128 | HU 2004-327 | 20020313 |
| HU 2004000327 | A3 | 20050628 | | |
| NZ 528106 | A | 20050324 | NZ 2002-528106 | 20020313 |
| EP 1676846 | A2 | 20060705 | EP 2006-8158 | 20020313 |
| EP 1676846 | A3 | 20060726 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| AT 333454 | T | 20060815 | AT 2002-704031 | 20020313 |
| RU 2288228 | C2 | 20061127 | RU 2003-127734 | 20020313 |
| ES 2267986 | T3 | 20070316 | ES 2002-704031 | 20020313 |
| CN 1962641 | A | 20070516 | CN 2006-10106152 | 20020313 |
| IN 2003MN00805 | A | 20050318 | IN 2003-MN805 | 20030827 |
| ZA 2003006731 | A | 20041129 | ZA 2003-6731 | 20030828 |
| ZA 2003006732 | A | 20041129 | ZA 2003-6732 | 20030828 |
| ZA 2003006734 | A | 20041129 | ZA 2003-6734 | 20030828 |
| ZA 2003006737 | A | 20041129 | ZA 2003-6737 | 20030828 |
| MX 2003PA08191 | A | 20040129 | MX 2003-PA8191 | 20030910 |
| NO 2003004045 | A | 20031110 | NO 2003-4045 | 20030912 |
| US 20040127528 | A1 | 20040701 | US 2004-471900 | 20040114 |
| US 7427631 | B2 | 20080923 | | |
| HK 1059932 | A1 | 20061222 | HK 2004-102796 | 20040421 |
| US 20080171882 | A1 | 20080717 | US 2007-928040 | 20071030 |
| PRIORITY APPLN. INFO.: | | | SE 2001-902 | A 20010315 |
| | | | CN 2002-810093 | A3 20020313 |
| | | | EP 2002-704031 | A3 20020313 |
| | | | WO 2002-SE472 | W 20020313 |
| | | | US 2004-471900 | A1 20040114 |

OTHER SOURCE(S): MARPAT 137:263031
GI



AB The title compds. [I; X = NR1, O, S; Y1, Y2 = O, S; Z = SO, SO2; m = 1, 2;
A = a bond, alkyl, haloalkyl, etc.; R1 = H, alkyl, haloalkyl; R2, R3 = H,

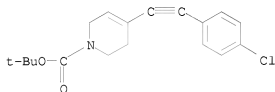
halo, alkyl, etc.; R4 = H, halo, alkyl, haloalkyl; R5 = monocyclic, bicyclic or tricyclic group selected from (un)substituted cycloalkyl, aryl, heterocycloalkyl, heteroaryl], useful as metalloproteinase inhibitors, especially as inhibitors of MMP12, were prepared Thus, reacting 1-[4-(4-fluorophenyl)phenyl]piperazine and 2-(2,5-dioxo-4-imidazolidinyl)-1-ethanesulfonyl chloride (preparation given) in the presence Et3N in CH2Cl2 afforded II.

IT 459819-55-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 5-substituted imidazolidine-2,4-diones as metalloproteinase inhibitors)

RN 459819-55-7 HCAPLUS

CN 1(2H)-Pyridinecarboxylic acid, 4-[2-(4-chlorophenyl)ethynyl]-3,6-dihydro-, 1,1-dimethylethyl ester (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 17 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:736236 HCAPLUS

DOCUMENT NUMBER: 137:247696

TITLE: Preparation of 5-substituted imidazolidine-2,4-diones as metalloproteinase inhibitors

INVENTOR(S): Eriksson, Anders; Lepistoe, Matti; Lundkvist, Michael; Munck Af Rosenschoeld, Magnus; Zlatoidsky, Pavol

PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 300 pp.

CODEN: PIXXD2

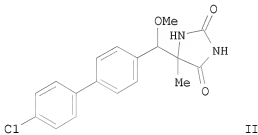
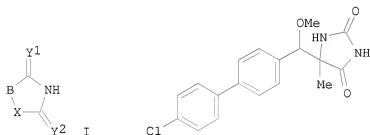
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2002074750 | A1 | 20020926 | WO 2002-SE475 | 20020313 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW | | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2440632 | A1 | 20020926 | CA 2002-2440632 | 20020313 |

| | | | | |
|--|----|----------|-------------------|-------------|
| AU 2002237629 | A1 | 20021003 | AU 2002-237629 | 20020313 |
| EE 200300439 | A | 20031215 | EE 2003-439 | 20020313 |
| EP 1370536 | A1 | 20031217 | EP 2002-704034 | 20020313 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, | | | | |
| IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| BR 2002008105 | A | 20040309 | BR 2002-8105 | 20020313 |
| CN 1509275 | A | 20040630 | CN 2002-810041 | 20020313 |
| HU 2004000206 | A2 | 20040830 | HU 2004-206 | 20020313 |
| HU 2004000206 | A3 | 20041028 | | |
| JP 2004527511 | T | 20040909 | JP 2002-573759 | 20020313 |
| EP 1676846 | A2 | 20060705 | EP 2006-8158 | 20020313 |
| EP 1676846 | A3 | 20060726 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, | | | | |
| IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| CN 1962641 | A | 20070516 | CN 2006-10106152 | 20020313 |
| IN 2003MN00800 | A | 20050318 | IN 2003-MN800 | 20030827 |
| MX 2003PA08180 | A | 20031212 | MX 2003-PA8180 | 20030910 |
| NO 2003004025 | A | 20031113 | NO 2003-4025 | 20030911 |
| US 20040147573 | A1 | 20040729 | US 2003-471808 | 20030912 |
| PRIORITY APPLN. INFO.: | | | SE 2001-902 | A 20010315 |
| | | | SE 2001-903 | A 20010315 |
| | | | CN 2002-810093 | A3 20020313 |
| | | | EP 2002-704031 | A3 20020313 |
| | | | WO 2002-SE475 | W 20020313 |
| OTHER SOURCE(S): | | | MARPAT 137:247696 | |
| GI | | | | |

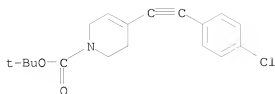


AB The title compds. [I; X = NR1, O, S; B = C, CH, and is a point of attachment of one or more other functional groups or side chains; Y1, Y2 = O, S; R1 = H, alkyl, haloalkyl], useful in the treatment of a disease or condition mediated by one or more metalloproteinase enzymes (no biol. data), were prepared E.g., a 4-step synthesis of II, starting with 4-(4-chlorophenyl)benzaldehyde, was given.

IT 459819-55-7P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of 5-substituted imidazolidine-2,4-diones as metalloproteinase inhibitors)

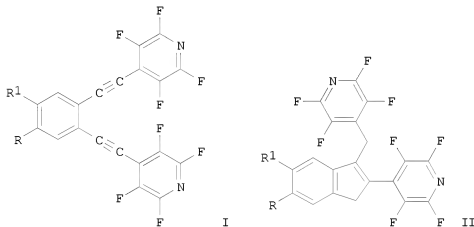
RN 459819-55-7 HCAPLUS

CN 1(2H)-Pyridinecarboxylic acid, 4-[2-(4-chlorophenyl)ethynyl]-3,6-dihydro-, 1,1-dimethylethyl ester (CA INDEX NAME)



REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 18 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 2002:532117 HCAPLUS
 DOCUMENT NUMBER: 137:247471
 TITLE: C1-C5 Photochemical Cyclization of Enediynes
 AUTHOR(S): Alabugin, Igor V.; Kovalenko, Serguei V.
 CORPORATE SOURCE: Department of Chemistry and Biochemistry, Florida State University, Tallahassee, FL, 32306-4390, USA
 SOURCE: Journal of the American Chemical Society (2002), 124(31), 9052-9053
 CODEN: JACSAT; ISSN: 0002-7863
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 137:247471
 GI



AB Bis(tetrafluoropyridinylethynyl)benzenes I (R = R1 = H, Me; R = H, Cl; R1 = Cl, H) undergo photochem. activated cyclization of enediynes to provide indenones II as the major products in 2-22% yields. The cyclization of I (R = H; R1 = Cl) is regioselective, giving II (R = Cl; R1 = H) as the major product. The remainder of the mass balance in the photochem. cyclization of I to II was made up of radical addition products derived from I and 1,4-cyclohexadiene. The photochem. cyclizations of I to II operate by a mechanism different from that operating in the Bergmann cyclization of enediynes; the key step in this cyclization is photoinduced electron

transfer from 1,4-cyclohexadiene to I. The energies of the starting materials, transition states for cyclization, and radical products formed from the photochem. cyclizations of (Z)-3-hexen-1,5-diyne and 1,2-diethynylbenzene are calculated for both neutral radical and radical anion pathways. The crystal structure of II (R = R1 = Me) was determined by X-ray crystallog.

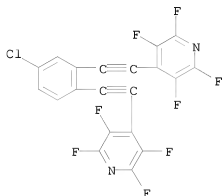
IT 459457-32-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and photochem. cyclization reactions of (tetrafluoropyridinylethynyl)benzenes to give indenenes)

RN 459457-32-0 HCAPLUS

CN Pyridine, 4,4'-[(4-chloro-1,2-phenylene)di-2,1-ethynediyl]bis[2,3,5,6-tetrafluoro- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 19 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1999:511159 HCAPLUS

DOCUMENT NUMBER: 131:157709

TITLE: Preparation of bicyclic pyridine and pyrimidine derivatives as neuropeptide Y receptor antagonists

INVENTOR(S): Norman, Mark H.; Chen, Ning; Han, Nianhe; Liu, Longbin; Hurt, Clarence R.; Fotsch, Christopher H.; Jenkins, Tracy J.; Moreno, Ofir A.

PATENT ASSIGNEE(S): Amgen Inc., USA

SOURCE: PCT Int. Appl., 469 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|----------|
| WO 9940091 | A1 | 19990812 | WO 1999-US2500 | 19990205 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, | | | | |

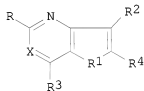
KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN,
 MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM,
 TR, TT, UA, UG, UZ, VN, YU, ZW
 RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
 FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
 CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

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|--|----|----------|-----------------|----------|
| US 6187777 | B1 | 20010213 | US 1999-246775 | 19990204 |
| CA 2319275 | A1 | 19990812 | CA 1999-2319275 | 19990205 |
| CA 2319275 | C | 20071016 | | |
| AU 9926590 | A | 19990823 | AU 1999-26590 | 19990205 |
| AU 747920 | B2 | 20020530 | | |
| EP 1054887 | A1 | 20001129 | EP 1999-906756 | 19990205 |
| EP 1054887 | B1 | 20060412 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY | | | | |
| JP 2003502272 | T | 20030121 | JP 2000-530520 | 19990205 |
| AT 323088 | T | 20060415 | AT 1999-906756 | 19990205 |
| PT 1054887 | T | 20060630 | PT 1999-906756 | 19990205 |
| ES 2257851 | T3 | 20060801 | ES 1999-906756 | 19990205 |
| ZA 9900967 | A | 19990806 | ZA 1999-967 | 19990208 |
| MX 2000PA07662 | A | 20010219 | MX 2000-PA7662 | 20000804 |
| US 6583154 | B1 | 20030624 | US 2000-640263 | 20000816 |

PRIORITY APPLN. INFO.:

| | | |
|----------------|---|----------|
| US 1998-73927P | P | 19980206 |
| US 1998-73981P | P | 19980206 |
| US 1998-93482P | P | 19980720 |
| US 1998-93577P | P | 19980720 |
| US 1999-246775 | A | 19990204 |
| WO 1999-US2500 | W | 19990205 |

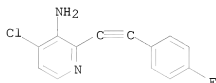
OTHER SOURCE(S): MARPAT 131:157709
 GI



I

AB Title compds. [I; R = H, CH₃, (CH₃)₂CH, SCH₃, CH₃CH₂, NH₂, CF₃, NHCOC₆H₅, cyclopropyl, CH₂OH, (CH₃)₂CH₂CH₂, N(CH₃)₂, OCH₃, NHCH₃, NH(CH₂)₄NH₂; R₁ = NH, S, NCH₃, O; R₂ = H, COCH₃, C₆H₅, CH₃, CH₃CH₂; R₃ = NH₂, CH₃, NHC₆H₅, N(CH₂CH₃)₂, (CH₃CH₂)N(CH₂)₃CH₃, (CH₃)N(CH₂)₂NHCH₃, N(CH₃)CH(CH₃)CH(Ph)OH, (CH₃CH₂)NCH₂C(CH₃):CH₂, NHCH₂CF₃, NHCH₂CH₂C₆H₅, NH(CH₂)₃CH₂CH₃, 4-ClC₆H₄, 4-CH₃OC₆H₅, 2-thienyl, 1-pyrrolidinyl, 1-piperidinyl, 4-morpholinyl, 1-piperazinyl, 3-pyridyl; R₄ = C₆H₅, 4-CH₃C₆H₄, 4-ClC₆H₄, (CH₃)₃C, 4-FC₆H₄, 3-HOC₆H₄, 2-pyridyl, cyclohexyl, 2-furyl, 2-FC₆H₄ 2-thienyl, 1-adamantyl, CH₃, 4-CH₃OC₆H₄; X = N, CH; etc.], pharmaceutical acceptable salts, ester, solvate, and N-oxide are prepared and tested as neuropeptide Y receptor antagonists in the modulation of feeding behavior, obesity, diabetes, cancer, inflammatory disorders, depression, stress related disorders, Alzheimer's disease and other disease conditions. Thus, the title compound I (R = CH₃; R₁ = NH; X = N; R₂ = H; R₃ = N(CH₂CH₃)₂; R₄ = C₆H₅) was prepared

IT 237435-20-0P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of pyrrolopyridine and pyrrolopyrimidine derivs. as
 neuropeptide Y receptor antagonists)
 RN 237435-20-0 HCAPLUS
 CN 3-Pyridinamine, 4-chloro-2-[2-(4-fluorophenyl)ethynyl]- (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L14 ANSWER 20 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1999:148325 HCAPLUS
 Correction of: 1999:64775

DOCUMENT NUMBER: 130:153580
 Correction of: 130:124995

TITLE: Preparation of pyridine derivatives for treating
 disorders mediated full or in part by mGluR5

INVENTOR(S): Allgeier, Hans; Auberson, Yves; Biollaz, Michel;
 Cosford, Nicholas David; Gasparini, Fabrizio;
 Heckendorn, Roland; Johnson, Edwin Carl; Kuhn, Rainer;
 Varney, Mark Andrew; Velicelebi, Gonul
 PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis-Erfindungen
 Verwaltungsgesellschaft m.b.h.; Sibia Neurosciences
 Inc.

SOURCE: PCT Int. Appl., 48 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent
 LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

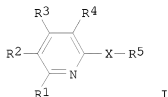
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|--|----------|------------------|----------|
| WO 9902497 | A2 | 19990121 | WO 1998-EP4266 | 19980709 |
| WO 9902497 | A3 | 19990401 | | |
| W: | AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW | | | |
| RW: | GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | |
| TW 544448 | B | 20030801 | TW 1998-87110887 | 19980706 |
| CA 2295678 | A1 | 19990121 | CA 1998-2295678 | 19980709 |
| AU 9889743 | A | 19990208 | AU 1998-89743 | 19980709 |

| | | | | |
|--|----|----------|----------------|-------------|
| AU 738973 | B2 | 20011004 | | |
| EP 998459 | A2 | 20000510 | EP 1998-941308 | 19980709 |
| EP 998459 | B1 | 20080423 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY | | | | |
| TR 200000059 | T2 | 20000621 | TR 2000-59 | 19980709 |
| BR 9811685 | A | 20000919 | BR 1998-11685 | 19980709 |
| HU 2000004225 | A2 | 20010528 | HU 2000-4225 | 19980709 |
| HU 2000004225 | A3 | 20010628 | | |
| JP 2001509504 | T | 20010724 | JP 2000-502025 | 19980709 |
| JP 3481208 | B2 | 20031222 | | |
| NZ 502210 | A | 20020726 | NZ 1998-502210 | 19980709 |
| RU 2203889 | C2 | 20030510 | RU 2000-102667 | 19980709 |
| CN 1203060 | C | 20050525 | CN 1998-807050 | 19980709 |
| AT 393145 | T | 20080515 | AT 1998-941308 | 19980709 |
| ZA 9806137 | A | 19990122 | ZA 1998-6137 | 19980710 |
| NO 2000000124 | A | 20000302 | NO 2000-124 | 20000110 |
| MX 200000433 | A | 20010821 | MX 2000-433 | 20000111 |
| US 6656957 | B1 | 20031202 | US 2000-722803 | 20001127 |
| PRIORITY APPLN. INFO.: | | | US 1997-890689 | A 19970711 |
| | | | US 1997-891691 | A 19970711 |
| | | | WO 1998-EP4266 | W 19980709 |
| | | | US 2000-462511 | B1 20000224 |

OTHER SOURCE(S): MARPAT 130:153580

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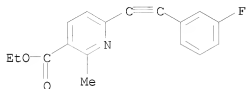


- AB The title compds. [I; R1 = H, lower alkyl, hydroxy-lower alkyl, etc.; R2 = H, lower alkyl, CO2H, etc.; R3 = H, lower alkyl, CO2H, etc.; R4 = H, lower alkyl, OH, etc.; X = an optionally halo-substituted lower alkenylene or alkenylene bonded via vicinal unsatd. carbon atoms or an azo group; R5 = (un)substituted aromatic or heteroarom.] and their salts, useful for treating disorders mediated full or in part by mGluR1 or mGluR5 (no data) such as epilepsy, cerebral ischemia, ischemic diseases of the eye, muscle spasms, convulsions, pain, acute, traumatic and chronic degenerative processes of the nervous system and psychiatric diseases, were prepared Thus, reaction of 2,6-dimethylpyridine with 3-cyanobenzaldehyde in Ac2O afforded I [R1 = Me; R2-R4 = H; X = CH2CH; R5 = 3-NCC6H4].
- IT 219913-73-2P 219913-80-1P 219913-82-3P
219913-87-8P 219914-33-7P 219914-34-8P
219914-35-9P 219914-49-5P 219914-52-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyridine derivs. for treating disorders mediated full or in part by mGluR5)

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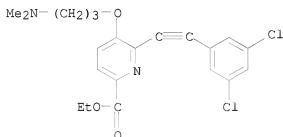
RN 219913-73-2 HCAPLUS

CN 3-Pyridinecarboxylic acid, 6-[2-(3-fluorophenyl)ethynyl]-2-methyl-, ethyl ester (CA INDEX NAME)



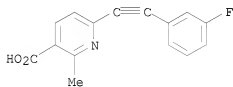
RN 219913-80-1 HCAPLUS

CN 2-Pyridinecarboxylic acid, 6-[2-(3,5-dichlorophenyl)ethynyl]-5-[3-(dimethylamino)propoxy]-, ethyl ester (CA INDEX NAME)



RN 219913-82-3 HCAPLUS

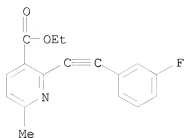
CN 3-Pyridinecarboxylic acid, 6-[2-(3-fluorophenyl)ethynyl]-2-methyl- (CA INDEX NAME)



RN 219913-87-8 HCAPLUS

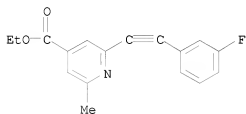
CN 3-Pyridinecarboxylic acid, 2-[2-(3-fluorophenyl)ethynyl]-6-methyl-, ethyl ester (CA INDEX NAME)

10598512



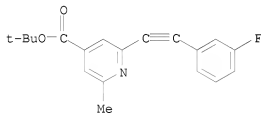
RN 219914-33-7 HCAPLUS

CN 4-Pyridinecarboxylic acid, 2-[2-(3-fluorophenyl)ethynyl]-6-methyl-, ethyl ester (CA INDEX NAME)



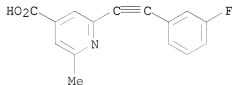
RN 219914-34-8 HCAPLUS

CN 4-Pyridinecarboxylic acid, 2-[2-(3-fluorophenyl)ethynyl]-6-methyl-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 219914-35-9 HCAPLUS

CN 4-Pyridinecarboxylic acid, 2-[2-(3-fluorophenyl)ethynyl]-6-methyl-, (CA INDEX NAME)

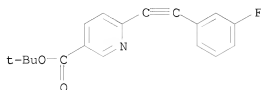


RN 219914-49-5 HCAPLUS

Updated Search

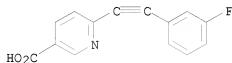
10598512

CN 3-Pyridinecarboxylic acid, 6-[2-(3-fluorophenyl)ethynyl]-,
1,1-dimethylethyl ester (CA INDEX NAME)



RN 219914-52-0 HCAPLUS

CN 3-Pyridinecarboxylic acid, 6-[2-(3-fluorophenyl)ethynyl]- (CA INDEX NAME)



L14 ANSWER 21 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1999:64775 HCAPLUS

DOCUMENT NUMBER: 130:124995

TITLE: Preparation of pyridine derivatives for treating disorders mediated full or in part by mGluR5

INVENTOR(S): Allgeier, Hans; Auberson, Yves; Biollaz, Michel; Cosford, Nicholas David; Gasparini, Fabrizio; Heckendorn, Roland; Johnson, Edwin Carl; Kuhn, Rainer; Varney, Mark Andrew; Veliclebi, Gonul

PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis-Erfindungen Verwaltungsgesellschaft m.b.H.; Sibia Neurosciences Inc.

SOURCE: PCT Int. Appl., 48 pp.

CODEN: PIXXD2

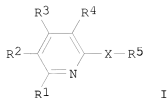
DOCUMENT TYPE: Patent

LANGUAGE: English

PATENT INFORMATION:

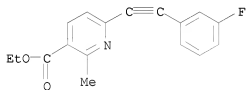
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|-----------------|----------|
| WO 9902497 A2 | | 19990121 | WO 1998-EP4266 | 19980709 |
| W: | AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | |
| RW: | AT, BE, BF, BJ, CF, CG, CH, CI, CM, CY, DE, DK, ES, FI, FR, GA, GB, GR, IE, IT, LU, MC, ML, MR, NE, NL, PT, SE, SN, TD, TG | | | |
| PRIORITY APPLN. INFO.: | | | US 1997-891691 | 19970711 |
| | | | US 1997-890689 | 19970711 |
| OTHER SOURCE(S): | MARPAT 130:124995 | | | |
| GI | | | | |

Updated Search



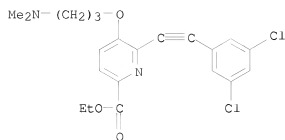
I

- AB The title compds. [I; R¹ = H, lower alkyl, hydroxy-lower alkyl, etc.; R² = H, lower alkyl, CO₂H, etc.; R³ = H, lower alkyl, CO₂H, etc.; R⁴ = H, lower alkyl, OH, etc.; X = an optionally halo-substituted lower alkenylene or alkynylene bonded via vicinal unsatd. carbon atoms or an azo group; R⁵ = (un)substituted aromatic or heteroarom.] and their salts, useful for treating disorders mediated full or in part by mGluR1 or mGluR5 (no data) such as epilepsy, cerebral ischemia, ischemic diseases of the eye, muscle spasms, convulsions, pain, acute, traumatic and chronic degenerative processes of the nervous system and psychiatric diseases, were prepared. Thus, reaction of 2,6-dimethylpyridine with 3-cyanobenzaldehyde in Ac₂O afforded I [R¹ = Me; R²-R⁴ = H; X = CH=CH; R⁵ = 3-(NC)C₆H₅].
- IT 219913-73-2P 219913-80-1P 219913-82-3P
219913-87-8P 219914-33-7P 219914-34-8P
219914-35-9P 219914-49-5P 219914-52-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyridine derivs. for treating disorders mediated full or in part by mGluR5)
- RN 219913-73-2 HCAPLUS
- CN 3-Pyridinecarboxylic acid, 6-[2-(3-fluorophenyl)ethynyl]-2-methyl-, ethyl ester (CA INDEX NAME)



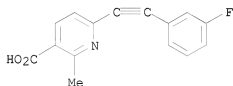
- RN 219913-80-1 HCAPLUS
- CN 2-Pyridinecarboxylic acid, 6-[2-(3,5-dichlorophenyl)ethynyl]-5-[3-(dimethylamino)propoxy]-, ethyl ester (CA INDEX NAME)

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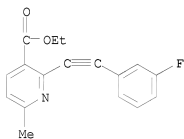
RN 219913-82-3 HCAPLUS

CN 3-Pyridinecarboxylic acid, 6-[2-(3-fluorophenyl)ethynyl]-2-methyl- (CA INDEX NAME)



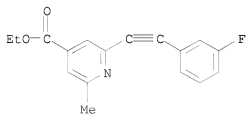
RN 219913-87-8 HCAPLUS

CN 3-Pyridinecarboxylic acid, 2-[2-(3-fluorophenyl)ethynyl]-6-methyl-, ethyl ester (CA INDEX NAME)



RN 219914-33-7 HCAPLUS

CN 4-Pyridinecarboxylic acid, 2-[2-(3-fluorophenyl)ethynyl]-6-methyl-, ethyl ester (CA INDEX NAME)

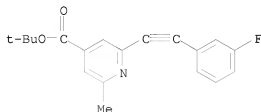


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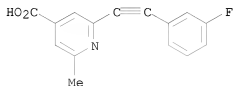
RN 219914-34-8 HCAPLUS

CN 4-Pyridinecarboxylic acid, 2-[2-(3-fluorophenyl)ethynyl]-6-methyl-,
1,1-dimethylethyl ester (CA INDEX NAME)



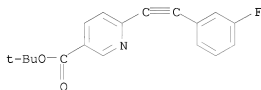
RN 219914-35-9 HCAPLUS

CN 4-Pyridinecarboxylic acid, 2-[2-(3-fluorophenyl)ethynyl]-6-methyl- (CA
INDEX NAME)



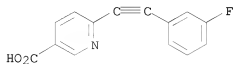
RN 219914-49-5 HCAPLUS

CN 3-Pyridinecarboxylic acid, 6-[2-(3-fluorophenyl)ethynyl]-,
1,1-dimethylethyl ester (CA INDEX NAME)



RN 219914-52-0 HCAPLUS

CN 3-Pyridinecarboxylic acid, 6-[2-(3-fluorophenyl)ethynyl]- (CA INDEX NAME)



L14 ANSWER 22 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1997:513625 HCAPLUS

DOCUMENT NUMBER: 127:190650

ORIGINAL REFERENCE NO.: 127:36973a, 36976a

Updated Search

TITLE: Preparation of dihydropyridines, pyridines, benzopyranones, and triazoloquinazolines for use as adenosine receptor antagonists

INVENTOR(S): Jacobson, Kenneth A.; Jiang, Ji-Long; Kim, Yong-Chul; Karton, Yishai; Van Rhee, Albert M.

PATENT ASSIGNEE(S): United States Dept. of Health and Human Services, USA

SOURCE: PCT Int. Appl., 138 pp.
CODEN: PIXXD2

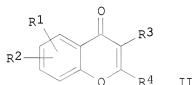
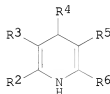
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--|----------|-----------------|------------|
| WO 9727177 | A2 | 19970731 | WO 1997-US1252 | 19970129 |
| WO 9727177 | A3 | 19971113 | | |
| W: | AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | |
| CA 2244774 | A1 | 19970731 | CA 1997-2244774 | 19970129 |
| CA 2244774 | C | 20061017 | | |
| AU 9722466 | A | 19970820 | AU 1997-22466 | 19970129 |
| AU 709190 | B2 | 19990826 | | |
| EP 885192 | A1 | 19981223 | EP 1997-905627 | 19970129 |
| R: | AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI | | | |
| JP 2000516910 | T | 20001219 | JP 1997-527065 | 19970129 |
| US 6066642 | A | 20000523 | US 1998-117598 | 19981207 |
| AU 9957171 | A | 20000217 | AU 1999-57171 | 19991101 |
| AU 755525 | B2 | 20021212 | | |
| PRIORITY APPLN. INFO.: | | | US 1996-10737P | P 19960129 |
| | | | US 1996-21191P | P 19960703 |
| | | | WO 1997-US1252 | W 19970129 |
| OTHER SOURCE(S): | MARPAT 127:190650 | | | |
| GI | | | | |



AB Dihydropyridines I [R2 = alkyl, haloalkyl, phenyl; R3 = alkyl, alkoxycarbonyl, alkylthiocarbonyl, alkylaminocarbonyl, alkyloxy; R2R3 = ring with 2 - 4 methylene groups; R4 = alkyl, aryl, alkenyl, alkylamino, alkyloxy, alkynyl; R5 = alkyloxycarbonyl, aryl, alkylthio, hydroxy,

alkylamino; R6 = Ph, naphthyl], benzopyranones II [R1 = R3 = H, hydroxy, alkyloxy, alkylcarbonyloxy; R2 = H, hydroxy, alkyloxy, alkylcarbonyloxy, alkenyloxy; R4 = Ph, styryl, phenylbutadienyl, phenylacetylenyl, iminomethyl], as well as pyridines and triazoloquinazolines, were prepared for pharmaceutical uses which involve blocking adenosine receptors such as treatment of cancer, inflammation, and asthma. Thus, 3,5,7-trimethoxyflavone was prepared by methylation of galangin with di-Me sulfate and gave Ki values of 0.509 ± 0.049 , 6.45 ± 1.48 , and 1.21 ± 0.30 μM for A1, A2a, A3 receptors, resp., when tested for displacement of specific [3H]PIA binding in rat brain membranes.

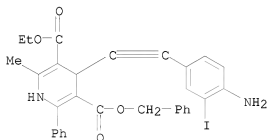
IT 194346-98-0

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(preparation of dihydropyridines, pyridines, benzopyranones, and triazoloquinazolines for use as adenosine receptor antagonists)

RN 194346-98-0 HCAPLUS

CN 3,5-Pyridinedicarboxylic acid, 4-[2-(4-amino-3-iodophenyl)ethynyl]-1,4-dihydro-2-methyl-6-phenyl-, 3-ethyl 5-(phenylmethyl) ester (CA INDEX NAME)



L14 ANSWER 23 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1997:321906 HCAPLUS

DOCUMENT NUMBER: 127:26242

ORIGINAL REFERENCE NO.: 127:4963a,4966a

TITLE: High-birefringence liquid crystal dopants

INVENTOR(S): Wand, Michael; Thurmes, William N.; More, Kundalika; Vohra, Rohini T.

PATENT ASSIGNEE(S): Displaytech, Inc., USA

SOURCE: U.S., 33 pp.
CODEN: USXXAM

DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|--------|-----------|-----------------|----------|
| US 5626792 | A | 19970506 | US 1994-301121 | 19940906 |
| PRIORITY APPLN. INFO.: | | | US 1994-301121 | 19940906 |
| OTHER SOURCE(S): | MARPAT | 127:26242 | | |
| AB High-birefringence liquid crystal dopants for use in electrooptical devices | | | | |

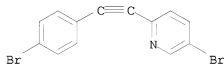
having the formula $R1XCC.tplbond.CDT$ wherein C and D are aromatic ring systems each of which has one or two 5-member or 6-member carbon rings wherein one or two carbons of any ring in C or D can be substituted with a N, O or S atom and wherein any ring in C or D can be substituted with one or two halogen atoms; T is a halogen atom, a haloalkyl, haloalkoxy, vinylhalide or YR_2 group where Y is a single bond, a double bond, a triple bond, COS, CS₂, CH=CHCOS, CH=CHCSS or CH=CHCOO and R₂ is an alkyl group having 3-20 carbon atoms; X is a single bond, a double bond, a triple bond, O, S or a ZQW group, where Q is a cyclohexane or cyclohexene ring in which one or two of the ring carbons can be replaced with an O atom or in which one or more of the ring carbons can be substituted with a halogen atom or a cyano group, Z is a single bond or an O or S atom and W is a single bond, CH₂, C₂H₄ or CH₂O; and R₁ is alkyl having 3-20 carbon atoms in which one or more CH₂ groups can be halogenated, two neighboring CH₂ groups can be substituted with an epoxide group or one or more non-neighboring CH₂ groups can be substituted with a double bond, a triple bond, an O or S atom, or a SiRaRb group where Ra and Rb are alkyl or alkenyl having 1-6 carbon atoms are disclosed. The high-birefringence dopants also possess UV stability, IR clarity and other properties that affect LC properties.

IT 190649-20-8P

RL: RCT (Reactant); SPN (Synthetic preparation); TEM (Technical or engineered material use); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation and reaction in preparing high-birefringence liq crystal dopant for electrooptical display devices)

RN 190649-20-8 HCAPLUS

CN Pyridine, 5-bromo-2-[2-(4-bromophenyl)ethynyl]- (CA INDEX NAME)



L14 ANSWER 24 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1994:689831 HCAPLUS

DOCUMENT NUMBER: 121:289831

ORIGINAL REFERENCE NO.: 121:52746h,52747a

TITLE: Pyridine derivatives and liquid-crystal media and display devices containing them

INVENTOR(S): Poetsch, Eike; Plach, Herbert; Meyer, Volker; Waechter, Andreas; Hittich, Reinhard

PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany

SOURCE: Ger. Offen., 36 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|----------|-----------------|----------|
| DE 4234089 | A1 | 19940414 | DE 1992-4234089 | 19921009 |

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PRIORITY APPLN. INFO.:

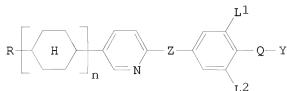
DE 1992-4234089

19921009

OTHER SOURCE(S):

MARPAT 121:289831

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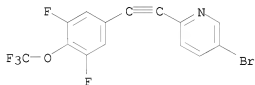
AB The compds. have the general formula I, where R = C1-15 alkyl or alkylene, unsubstituted or monosubstituted with CN, halogen, or CF₃, in which ≥ 1 CH₂ groups may be replaced by O, CO, COO, OCO, or OCOO; n = 0 or 1; Z = CH₂CH₂, CH:CH, or C.tplbond.C; L₁,L₂ = H or F; Q = CHF, OCHF, CF₂, OCF₂, C₂F₄, OC₂F₄, or a single bond; and Y = H, F, or Cl.

IT 159041-39-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of; in formation of pyridine derivs. for liquid-crystal media and display devices)

RN 159041-39-1 HCAPLUS

CN Pyridine, 5-bromo-2-[2-[3,5-difluoro-4-(trifluoromethoxy)phenyl]ethynyl]- (CA INDEX NAME)



L14 ANSWER 25 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1993:484524 HCAPLUS

DOCUMENT NUMBER: 119:84524

ORIGINAL REFERENCE NO.: 119:14943a,14946a

TITLE: Luminescence of europium(III) chelates with 4-(arylethynyl)pyridines as ligands

AUTHOR(S): Takalo, Harri; Hanninen, Elina; Kankare, Jouko

CORPORATE SOURCE: Cent. Biotechnol., Turku, SF-20521, Finland

SOURCE: Helvetica Chimica Acta (1993), 76(2), 877-83

CODEN: HCACAV; ISSN: 0018-019X

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Some spectral properties and luminescence intensities of Eu(III) chelates with 15 4-(arylethynyl)pyridine-2,6-dicarboxylic acids and 11 2,2',2'',2'''-[4-(arylethynyl)pyridine-2,6-diyl]bis(methylenenitrilo)tetrakis(acetic acids) were measured both in H₂O and EtOH solns. to develop suitable labels for time-resolved

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luminescence-based bioaffinity assays. Several of the latter ligands and their Eu complexes were prepared for the 1st time. The substitution at the aryl group has a significant effect upon the observed luminescence intensities, excitation wavelengths, and decay consts. of the complexes. Moreover, the changes in the environment cause great variation in those properties of certain EuIII chelates.

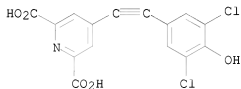
IT 149826-91-5D, europium complex

RL: PRP (Properties)

(luminescence of)

RN 149826-91-5 HCAPLUS

CN 2,6-Pyridinedicarboxylic acid, 4-[2-(3,5-dichloro-4-hydroxyphenyl)ethynyl]-
(CA INDEX NAME)



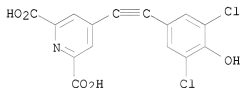
IT 148886-04-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)

(preparation and reaction of, with europium)

RN 148886-04-8 HCAPLUS

CN 2,6-Pyridinedicarboxylic acid, 4-[2-(3,5-dichloro-4-hydroxyphenyl)ethynyl]-
, potassium salt (1:2) (CA INDEX NAME)



● 2 K

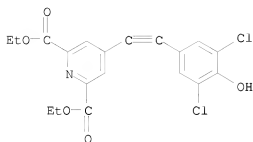
IT 148902-83-4P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

RN 148902-83-4 HCAPLUS

CN 2,6-Pyridinedicarboxylic acid, 4-[2-(3,5-dichloro-4-hydroxyphenyl)ethynyl]-
, 2,6-diethyl ester (CA INDEX NAME)



L14 ANSWER 26 OF 26 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1991:196497 HCAPLUS

DOCUMENT NUMBER: 114:196497

ORIGINAL REFERENCE NO.: 114:32950h, 32951a

TITLE: Optically active nicotinic acid ester derivatives as chiral smectic C liquid crystals

INVENTOR(S): Seto, Koji; Shimochizusho, Hiroshi

PATENT ASSIGNEE(S): Nitto Chemical Industry Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 15 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent

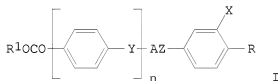
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|----------|
| JP 02292260 | A | 19901203 | JP 1989-115494 | 19890508 |
| PRIORITY APPLN. INFO.: | | | JP 1989-115494 | 19890508 |

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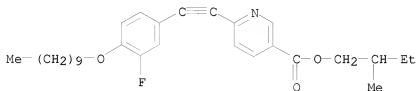
AB The title derivs. I (R = n-alkyl, alkoxy; R1 = asym. C-containing alkyl; A = 5,2-pyridinediyl, 2,5-pyridinediyl; X = H, halo; Y = C.tplbond.C, CH2CH2, OCO; Z = C.tplbond.C, CH2CH2, CO2; n = 0, 1) as liquid crystals are claimed. I have no other smectic phase below the chiral smectic C phase and are useful for ferroelec. compns. used in display devices, etc. Optically active 6-chloronicotinic acid 6-methyloctyl ester (preparation given) was treated with 4-Me(CH2)9OC6H4C.tplbond.CH to give I [R = decyloxy, R1 = (CH2)5CHMeEt, A = 5,2-pyridinediyl, X = H, Z = C.tplbond.C, n = 0], showing a chiral smectic C phase.

IT 133539-91-0P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

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RN 133539-91-0 HCAPLUS
CN 3-Pyridinecarboxylic acid, 6-[2-[4-(decyloxy)-3-fluorophenyl]ethynyl]-, 2-methylbutyl ester (CA INDEX NAME)



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COST IN U.S. DOLLARS

| SINCE FILE | TOTAL |
|------------|---------|
| ENTRY | SESSION |
| 155.22 | 536.25 |

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

| SINCE FILE | TOTAL |
|------------|---------|
| ENTRY | SESSION |
| -21.60 | -23.20 |

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- . December 31, 2008 - removed from STN

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(FILE 'HOME' ENTERED AT 18:08:42 ON 13 NOV 2008)

FILE 'REGISTRY' ENTERED AT 18:08:51 ON 13 NOV 2008

L1 STRUCTURE UPLOADED

L2 1 S L1

L3 46 S L1 FULL

FILE 'HCAPLUS' ENTERED AT 18:12:39 ON 13 NOV 2008

L4 2 S L3

L5 1 S L4 AND AGEJAS-CHICHARRO, F?/AU

L6 1 S L4 NOT L5

L7 0 S L6 AND DRESSMAN, B?/AU

FILE 'CAOLD' ENTERED AT 18:13:40 ON 13 NOV 2008

L8 0 S L3

FILE 'REGISTRY' ENTERED AT 18:24:19 ON 13 NOV 2008

L9 STRUCTURE UPLOADED

L10 1 S L9

L11 76 S L9 FULL

FILE 'HCAPLUS' ENTERED AT 18:29:27 ON 13 NOV 2008

L12 27 S L11

L13 1 S L12 AND AGEJAS-CHICHARRO, F?/AU

L14 26 S L12 NOT L13

L15 0 S L14 AND DRESSMAN, B?/AU

L16 0 S L14 AND SANELICIANO, S?/AU

L17 0 S L14 AND HENRY, S?/AU

L18 0 S L14 AND PEREZ, J?/AU

FILE 'CAOLD' ENTERED AT 18:31:22 ON 13 NOV 2008

=> s l11

L19 0 L11

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